10552503

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3 NOV 26 MARPAT enhanced with FSORT command
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy
NEWS 5 NOV 26 Two new SET commands increase convenience of STN searching
NEWS 6 DEC 01 ChemPort single article sales feature unavailable
NEWS 7 DEC 12 GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS 9 JAN 06 The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:57:42 ON 02 FEB 2009

=>

```
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                      0.22       0.22

FILE 'REGISTRY' ENTERED AT 15:57:51 ON 02 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    1 FEB 2009  HIGHEST RN 1099320-21-4
DICTIONARY FILE UPDATES:   1 FEB 2009  HIGHEST RN 1099320-21-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10552503.str
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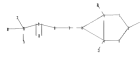


chain nodes :
7 8 9 10 11 12 14 15 18 20 21
ring nodes :
1 2 3 4 5 6
chain bonds :
1-18 4-7 5-20 6-21 7-8 8-9 9-10 9-11 10-12 10-14 10-15
ring bonds :
1-2 1-3 2-6 3-5 4-5 4-6 5-6
exact/norm bonds :
1-2 1-3 2-6 3-5 4-5 4-6 4-7 5-6 5-20 6-21 7-8 8-9 9-11 10-12 10-14
10-15
exact bonds :
1-18 9-10
isolated ring systems :
containing 1 :

G1:Ph,Cb,Cy,Ak

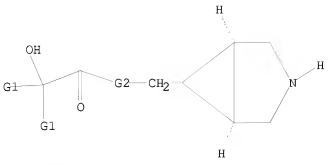
G2:O,N,NH

Match level :
1:Atom 2:Atom 3:Atom 4:CLASS 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 14:CLASS 15:CLASS 18:CLASS 20:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS

L1 STR



G1 Ph,Cb,Cy,Ak

G2 O,N,NH

Structure attributes must be viewed using STN Express query preparation.

```
=> S L1
SAMPLE SEARCH INITIATED 15:58:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       23 TO ITERATE

100.0% PROCESSED       23 ITERATIONS                                 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          173 TO      747
PROJECTED ANSWERS:               7 TO      298

L2            7 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 15:58:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      456 TO ITERATE

100.0% PROCESSED      456 ITERATIONS                                80 ANSWERS
SEARCH TIME: 00.00.01

L3           80 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  185.88     186.10

FILE 'HCAPLUS' ENTERED AT 15:58:28 ON 02 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)
```

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FILE COVERS 1907 - 2 Feb 2009 VOL 150 ISS 6
FILE LAST UPDATED: 1 Feb 2009 (20090201/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3
L4 8 L3

=> S L4 AND PY<=2003
24034228 PY<=2003
L5 0 L4 AND PY<=2003

=> FIL REGISTRY
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 5.70 191.80

FILE 'REGISTRY' ENTERED AT 15:59:53 ON 02 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 1 FEB 2009 HIGHEST RN 1099320-21-4
DICTIONARY FILE UPDATES: 1 FEB 2009 HIGHEST RN 1099320-21-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10552503X.str

chain nodes :
7 8 9 10 11 12 15 17 18
ring nodes :
1 2 3 4 5 6
chain bonds :
1-15 4-7 5-17 6-18 7-8 8-9 9-10 9-11 10-12
ring bonds :
1-2 1-3 2-6 3-5 4-5 4-6 5-6
exact/norm bonds :
1-2 1-3 2-6 3-5 4-5 4-6 4-7 5-6 5-17 6-18 7-8 8-9 9-11 10-12
exact bonds :
1-15 9-10
isolated ring systems :
containing 1 :

G1:Ph,Cb,Cy,Ak

G2:O,N,NH

Match level :
1:Atom 2:Atom 3:Atom 4:CLASS 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 15:CLASS 17:CLASS 18:CLASS

L6 STRUCTURE UPLOADED

```
=> S L6
SAMPLE SEARCH INITIATED 16:00:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       23 TO ITERATE

100.0% PROCESSED       23 ITERATIONS                                 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:          173 TO      747
PROJECTED ANSWERS:               7 TO      298

L7             7 SEA SSS SAM L6

=> S L6 SSS FULL
FULL SEARCH INITIATED 16:00:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      456 TO ITERATE

100.0% PROCESSED      456 ITERATIONS                                80 ANSWERS
SEARCH TIME: 00.00.01

L8            80 SEA SSS FUL L6

=>
Uploading C:\Program Files\Stnexp\Queries\10552503B.str
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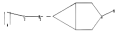

```
chain nodes :
7  8  9  10  13
ring nodes :
1  2  3  4  5  6
chain bonds :
1-13  4-7  7-8  8-9  9-10
ring bonds :
1-2  1-3  2-6  3-5  4-5  4-6  5-6
```

```
exact/norm bonds :
1-2  1-3  2-6  3-5  4-5  4-6  4-7  5-6  7-8  8-9  9-10
exact bonds :
1-13
isolated ring systems :
containing 1 :


G1:Ph,Cb,Cy,Ak

G2:O,N,NH

Match level :
1:Atom  2:Atom  3:Atom  4:CLASS  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS
10:CLASS  13:CLASS



L9      STRUCTURE UPLOADED

=> D L9
L9 HAS NO ANSWERS
L9                STR
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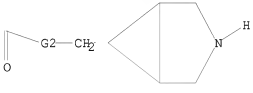


```
G1 Ph,Cb,Cy,Ak
G2 O,N,NH


Structure attributes must be viewed using STN Express query preparation.


=> S L9
SAMPLE SEARCH INITIATED 16:01:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       74 TO ITERATE

100.0% PROCESSED       74 ITERATIONS                                 9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          964 TO     1996
PROJECTED ANSWERS:               9 TO      360

L10           9 SEA SSS SAM L9

=> S L9 SSS FULL
FULL SEARCH INITIATED 16:01:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1636 TO ITERATE
```

100.0% PROCESSED 1636 ITERATIONS 159 ANSWERS
SEARCH TIME: 00.00.02

L11 159 SEA SSS FUL L9

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 372.24 564.04

FILE 'HCAPLUS' ENTERED AT 16:01:47 ON 02 FEB 2009
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FILE COVERS 1907 - 2 Feb 2009 VOL 150 ISS 6
FILE LAST UPDATED: 1 Feb 2009 (20090201/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> D HIS

(FILE 'HOME' ENTERED AT 15:57:42 ON 02 FEB 2009)

FILE 'REGISTRY' ENTERED AT 15:57:51 ON 02 FEB 2009
L1 STRUCTURE UPLOADED
L2 7 S L1
L3 80 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 15:58:28 ON 02 FEB 2009
L4 8 S L3
L5 0 S L4 AND PY<=2003

FILE 'REGISTRY' ENTERED AT 15:59:53 ON 02 FEB 2009
L6 STRUCTURE UPLOADED
L7 7 S L6
L8 80 S L6 SSS FULL
L9 STRUCTURE UPLOADED

```
L10           9 S L9
L11         159 S L9 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 16:01:47 ON 02 FEB 2009

=> S L8
L12           8 L8

=> S L11
L13          26 L11

=> S L12 AND PY<=2003
      24034228 PY<=2003
L14           0 L12 AND PY<=2003

=> S L13 AND PY<=2003
      24034228 PY<=2003
L15           2 L13 AND PY<=2003

=> S L13 AND P/DT
       6538018 P/DT
L16          23 L13 AND P/DT

=> S L16 AND US/PC
       1892403 US/PC
L17          13 L16 AND US/PC

=> d l4 ibib abs hitstr tot

L4   ANSWER 1 OF 8  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2008:771160  HCAPLUS
DOCUMENT NUMBER:          149:87637
TITLE:                    Modified-release formulations of azabicyclo
                          derivatives
INVENTOR(S):              Ketkar, Anant Ramesh; Kumar, Pratik; Rampal, Ashok
PATENT ASSIGNEE(S):       Ranbaxy Laboratories Limited, India
SOURCE:                   PCT Int. Appl., 17pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    -------------------    --------
     WO 2008075321        A2    20080626    WO 2007-IB55299        20071221
     WO 2008075321        A3    20080821
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
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GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

IN 2006DE02751 A 20080801 IN 2006-DE2751 20061221

PRIORITY APPLN. INFO.: IN 2006-DE2751 A 20061221

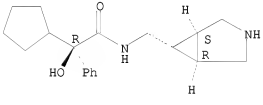
AB The present invention discloses modified-release oral dosage forms of an azabicyclo derivative or its pharmaceutically acceptable salts, solvates, esters, enantiomers, diastereomers, N-oxides and polymorphs; and processes for the preparation thereof. The modified release formulation comprises an azabicyclo derivative, at least one rate-controlling polymer and at least one pharmaceutically acceptable excipient which provides therapeutically effective plasma levels of the active ingredient for a period of up to 24 h. Thus, tablet was prepared containing (2R)-(1α,5α,6α)-N-[3-azabicyclo[3.1.0]hexyl-6-(aminomethyl)-yl]-2-hydroxyl-2cyclopentyl-2-Ph acetamide hydrochloride 0.112 mg, microcryst. cellulose 175.888 mg, hydroxypropyl methylcellulose 70.0 mg, talc 1.250 mg, colloidal anhydrous silica 1.0 mg, magnesium stearate 1.750 mg, and water as needed.

IT 866097-19-0 934843-97-7
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modified-release formulations of azabicyclo derivs.)

RN 866097-19-0 HCAPLUS

CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, (αR)- (CA INDEX NAME)

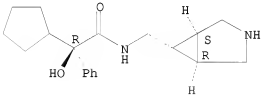
Absolute stereochemistry.



RN 934843-97-7 HCAPLUS

CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, hydrochloride (1:1), (αR)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:464247 HCAPLUS
DOCUMENT NUMBER: 146:468545
TITLE: Pharmaceutical compositions of muscarinic receptor antagonists
INVENTOR(S): Ray, Abhijit; Dastidar, Sunanda G.; Shirumalla, Rajkumar; Malhotra, Shivani
PATENT ASSIGNEE(S): Ranbaxy Laboratories Ltd., India
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

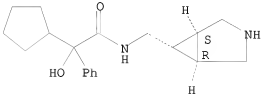
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007045979	A1	20070426	WO 2006-IB2930	20061019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006305619	A1	20070426	AU 2006-305619	20061019
CA 2626612	A1	20070426	CA 2006-2626612	20061019
EP 1948164	A1	20080730	EP 2006-809068	20061019
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2008DN03736	A	20080815	IN 2008-DN3736	20080501
PRIORITY APPLN. INFO.:			IN 2005-DE2794 A	20051019
			WO 2006-IB2930 W	20061019

OTHER SOURCE(S): MARPAT 146:468545
AB Pharmaceutical compns. are provided comprising one or more muscarinic receptor antagonists (MRA), and at least one addnl. active ingredients selected from one or more β2-agonists, p38 MAP kinase inhibitors, PDE-IV inhibitors, corticosteroids, etc., or a mixture thereof and optionally one or more pharmaceutically acceptable carriers, excipients or diluents. In addition, methods of treating autoimmune, inflammatory or allergic diseases or disorders are provided. For example, a synergistic effect was observed with the combination of muscarinic antagonist (2R)-(1a,5a,6a)-N-[3-azabicyclo[3.1.0]hexyl-6-(aminomethyl)-yl]-2-hydroxy-2-cyclopentyl 2-phenylacetamide hydrochloride (Compound 66) with PDE-IV inhibitor roflumilast for relaxing carbachol-precontracted guinea pig isolated trachea.
IT 646036-03-5 866097-19-0 866186-71-2
872994-89-3 893426-86-3 893426-91-0
893426-98-7 893427-06-0 893427-12-8
893427-18-4 934843-97-7 934843-98-8
934844-00-5 934844-01-6 934844-02-7

934844-03-8 934844-04-9 934844-05-0
934844-06-1 934844-07-2 934844-10-7
934844-11-8 934844-12-9 934844-13-0
934844-14-1 934844-15-2 934986-69-3
934986-70-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising muscarinic antagonists in combination with other agents for treatment of autoimmune, inflammatory or allergic disorders)

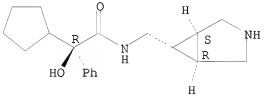
RN 646036-03-5 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-cyclopentyl-α-hydroxy-, (1α,5α,6α)- (CA INDEX NAME)

Relative stereochemistry.



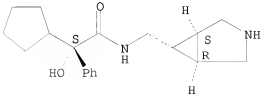
RN 866097-19-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



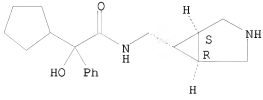
RN 866186-71-2 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872994-89-3 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

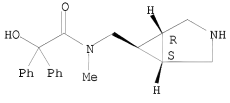
Relative stereochemistry.



● HCl

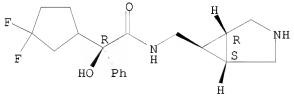
RN 893426-86-3 HCAPLUS
CN Benzeneacetamide, N-[[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-α-hydroxy-N-methyl-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



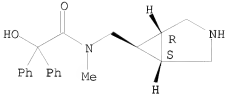
RN 893426-91-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxy-, (αR)-(CA INDEX NAME)

Absolute stereochemistry.



RN 893426-98-7 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

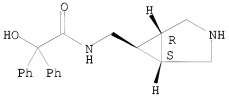
Relative stereochemistry.



● HCl

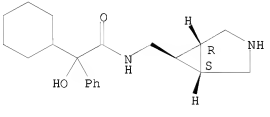
RN 893427-06-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



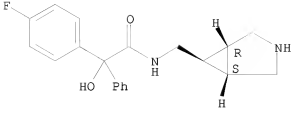
RN 893427-12-8 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclohexyl-α-hydroxy- (CA INDEX NAME)

Relative stereochemistry.



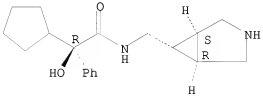
RN 893427-18-4 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-4-fluoro-α-hydroxy-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



RN 934843-97-7 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, hydrochloride (1:1), (αR)- (CA INDEX NAME)

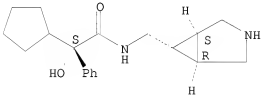
Absolute stereochemistry.



● HCl

RN 934843-98-8 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, hydrochloride (1:1), (αS)- (CA INDEX NAME)

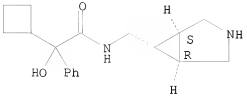
Absolute stereochemistry.



● HCl

RN 934844-00-5 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclobutyl-α-hydroxy- (CA INDEX NAME)

Relative stereochemistry.

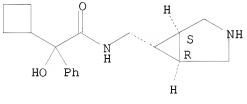


RN 934844-01-6 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclobutyl-α-hydroxy-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 934844-00-5
CMF C18 H24 N2 O2

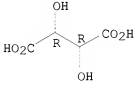
Relative stereochemistry.



CM 2

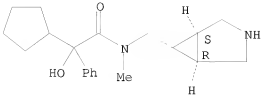
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 934844-02-7 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-N-methyl- (CA INDEX NAME)

Relative stereochemistry.

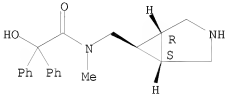


RN 934844-03-8 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-phenyl-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 893426-86-3
CMF C21 H24 N2 O2

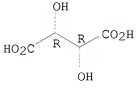
Relative stereochemistry.



CM 2

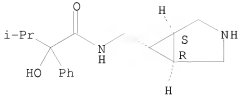
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



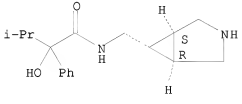
RN 934844-04-9 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-(1-methylethyl)- (CA INDEX NAME)

Relative stereochemistry.



RN 934844-05-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

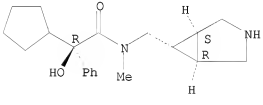
Relative stereochemistry.



● HCl

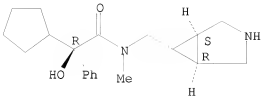
RN 934844-06-1 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-N-methyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 934844-07-2 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-N-methyl-, hydrochloride (1:1), (αR)- (CA INDEX NAME)

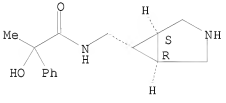
Absolute stereochemistry.



● HCl

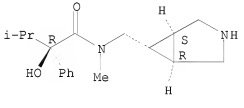
RN 934844-10-7 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-methyl- (CA INDEX NAME)

Relative stereochemistry.



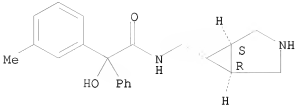
RN 934844-11-8 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-(1-methylethyl)-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



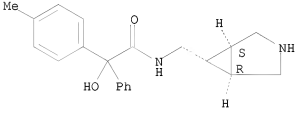
RN 934844-12-9 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-3-methyl-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



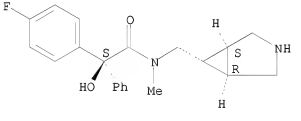
RN 934844-13-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-4-methyl-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



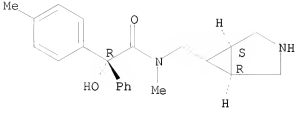
RN 934844-14-1 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-4-fluoro-α-hydroxy-N-methyl-α-phenyl-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 934844-15-2 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N,4-dimethyl-α-phenyl-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

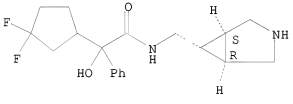


RN 934986-69-3 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxy-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 934986-68-2
CMF C19 H24 F2 N2 O2

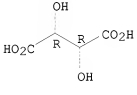
Relative stereochemistry.



CM 2

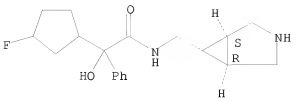
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 934986-70-6 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3-fluorocyclopentyl)-α-hydroxy- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1174148 HCAPLUS
DOCUMENT NUMBER: 145:471412
TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases
INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Kaur, Kirandeep; Aeron, Shelly; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Mehta, Anita; Chugh, Anita
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 79pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117754	A1	20061109	WO 2006-IB51368	20060501
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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IN 2007DN09221	A	20080118	IN 2007-DN9221	20071129
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PRIORITY APPLN. INFO.:			IN 2005-DE1810	A 20050503
			IN 2006-DE1681	A 20060328
			WO 2006-IB51368	W 20060501

OTHER SOURCE(S): MARPAT 145:471412
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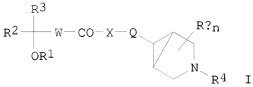


I

AB The present invention generally relates to azabicyclo[3.1.0]hexane derivs. (shown as I; variables defined below; e.g. N-(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-phenyl-2-(2-thienyl)acetamide (1)) as muscarinic receptor antagonists, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I: R1 is H or alkyl; R2 is straight or branched alkyl alkenyl, alkynyl, aryl, cycloalkyl, cycloalkylalkyl or heteroaryl (un)substituted with ≥1 alkyl, hydroxy or halogen. R3 is aryl or heteroaryl (un)substituted with ≥1 alkyl, hydroxy or halogen; W = -(CH2)i; Q = -(CH2)j; X is O or -N(R5)-; R4 is H, straight or branched alkyl, straight or branched alkenyl, aralkyl or heteroarylalkyl wherein the said aralkyl or heteroarylalkyl is further substituted with alkyl, -NH2 or alkoxycarbonylamino; R5 is H or alkyl; Rw is H or Me; and n, i, j = 0-2. Results of radioligand binding assays for M2 and M3 muscarinic receptors are reported for many examples of I. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.120 examples of I are included. For example, 1 was prepared from hydroxy(phenyl)(thien-2-yl)acetic acid and 3-benzyl-3-azabicyclo[3.1.0]hexan-6-amine in DMF using hydroxybenzotriazole, N-methylmorpholine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.

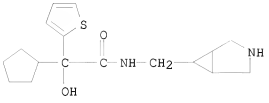
IT 913982-66-8P, N-[(3-Azabicyclo[3.1.0]hex-6-yl)methyl]-2-cyclopentyl-2-hydroxy-2-(2-thienyl)acetamide tartrate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases)

RN 913982-66-8 HCAPLUS

CN 2-Thiopheneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-cyclopentyl-α-hydroxy-, (2R,3R)-2,3-dihydroxybutanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

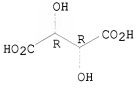
CRN 913982-65-7
CMF C17 H24 N2 O2 S



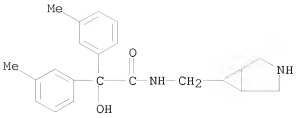
CM 2

CRN 87-69-4
CMF C4 H6 O6

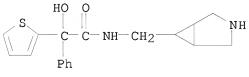
Absolute stereochemistry.



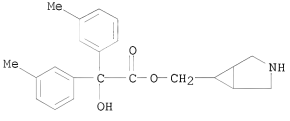
IT 913981-79-0P, N-[(3-Azabicyclo[3.1.0]hex-6-yl)methyl]-2-hydroxy-2,2-bis(3-methylphenyl)acetamide 913981-81-4P, N-[(3-Azabicyclo[3.1.0]hex-6-yl)methyl]-2-hydroxy-2-phenyl-2-(2-thienyl)acetamide 913981-82-5P, (3-Azabicyclo[3.1.0]hex-6-yl)methyl hydroxybis(3-methylphenyl)acetate 913981-84-7P, N-[(3-Azabicyclo[3.1.0]hex-6-yl)methyl]-2-hydroxy-N-methyl-2,2-bis(3-methylphenyl)acetamide 913981-96-1P, (3-Azabicyclo[3.1.0]hex-6-yl)methyl hydroxybis(4-methylphenyl)acetate 913981-97-2P, N-[(3-Azabicyclo[3.1.0]hex-6-yl)methyl]-2,2-bis(4-fluorophenyl)-2-hydroxy-N-methylacetamide 913981-99-4P, N-[(3-Azabicyclo[3.1.0]hex-6-yl)methyl]-2-hydroxy-N-methyl-2,2-bis(4-methylphenyl)acetamide 913982-01-1P, (2-Methyl-3-azabicyclo[3.1.0]hex-6-yl)methyl cyclohexyl(hydroxy)phenylacetate 913982-48-6P, (3-Azabicyclo[3.1.0]hex-6-yl)methyl 2-hydroxy-2-phenylhex-4-enoate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases)
RN 913981-79-0 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-hydroxy-3-methyl-α-(3-methylphenyl)- (CA INDEX NAME)



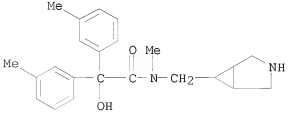
RN 913981-81-4 HCAPLUS
CN 2-Thiopheneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-hydroxy-α-phenyl- (CA INDEX NAME)



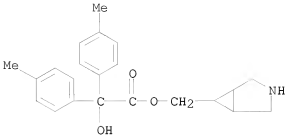
RN 913981-82-5 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-3-methyl-α-(3-methylphenyl)-, 3-azabicyclo[3.1.0]hex-6-ylmethyl ester (CA INDEX NAME)



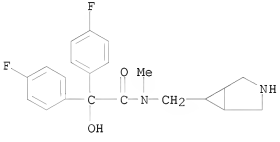
RN 913981-84-7 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-hydroxy-N,3-dimethyl-α-(3-methylphenyl)- (CA INDEX NAME)



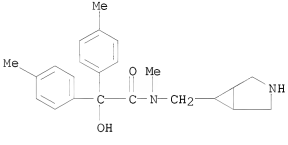
RN 913981-96-1 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-4-methyl-α-(4-methylphenyl)-, 3-azabicyclo[3.1.0]hex-6-ylmethyl ester (CA INDEX NAME)



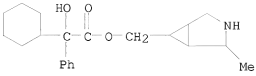
RN 913981-97-2 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-4-fluoro-α-(4-fluorophenyl)-α-hydroxy-N-methyl- (CA INDEX NAME)



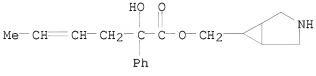
RN 913981-99-4 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-hydroxy-N,4-dimethyl-α-(4-methylphenyl)- (CA INDEX NAME)



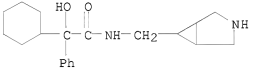
RN 913982-01-1 HCAPLUS
CN Benzeneacetic acid, α-cyclohexyl-α-hydroxy-, (2-methyl-3-azabicyclo[3.1.0]hex-6-yl)methyl ester (CA INDEX NAME)



RN 913982-48-6 HCAPLUS
CN Benzeneacetic acid, α-2-buten-1-yl-α-hydroxy-, 3-azabicyclo[3.1.0]hex-6-ylmethyl ester (CA INDEX NAME)



IT 913982-17-9, N-[(3-Azabicyclo[3.1.0]hex-6-yl)methyl]-2-cyclohexyl-2-hydroxy-2-phenylacetamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases)
RN 913982-17-9 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-cyclohexyl-α-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:605804 HCAPLUS
DOCUMENT NUMBER: 145:83209
TITLE: Preparation of azabicyclo[3.1.0]hexanes-acid addition salts as muscarinic receptor antagonists
INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Yadav, Gyan Chand; Sarma, Pakala Kumara Savithru
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2006064304	A1	20060622	WO 2004-IB4142		20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
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IN 2007DN04735	A	20070817	IN 2007-DN4735		20070619
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OTHER SOURCE(S):	CASREACT 145:83209; MARPAT 145:83209				
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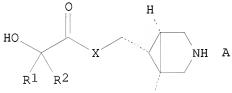

I

AB Title compds. I [R1 = optionally substituted phenyl; R2 = optionally substituted alkyl with halo, optionally substituted Ph with halo, optionally substituted cycloalkyl with halo; X = -NH-, -O-, NMe; A = organic acid selected from acetic acid, succinic acid, maleic acid, etc., inorg. acid selected from hydrochloric acid, hydrobromic acid, phosphoric acid, etc. with the proviso that A can not be tartaric acid when R1 and R2 are Ph and X is -NMe] and pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, prodrugs, polymorphs and metabolites thereof were prepared For example, a mixture of (2R)-N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-2-(3,3-difluorocyclopentyl)-2-hydroxy-2-phenylacetamide (II) and L-tartaric acid was stirred at room temperature for 4 h to give L-tartaric acid salt of compound II. In muscarinic receptor binding assays, the Ki values of 34 examples were in the range of from about 0.01 to about 2 nM for rat M3 receptors, from about 0.01 to about about 25 nM for rat M2 receptors. Compds. I are claimed useful for the treatment of urinary incontinence, bronchial asthma, etc.

IT 893426-84-1P 893426-87-4P 893426-88-5P
893426-89-6P 893426-90-9P 893426-92-1P
893426-94-3P 893426-95-4P 893426-96-5P
893426-97-6P 893426-98-7P 893427-00-4P
893427-01-5P 893427-02-6P 893427-03-7P
893427-04-8P 893427-05-9P 893427-07-1P
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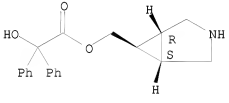
893427-32-2P 893427-34-4P 893427-36-6P
893427-38-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclo[3.1.0]hexanes-acid addition salts as muscarinic receptor antagonists for treatment of urinary incontinence and bronchial asthma)

RN 893426-84-1 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-α-phenyl-, (1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



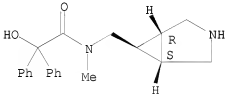
● HCl

RN 893426-87-4 HCAPLUS
CN Butanedioic acid, compd. with rel-N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-phenylbenzeneacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-86-3
CMF C21 H24 N2 O2

Relative stereochemistry.



CM 2

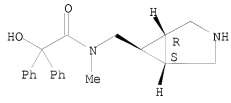
CRN 110-15-6
CMF C4 H6 O4

RN 893426-88-5 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-phenyl-, rel-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-86-3
CMF C21 H24 N2 O2

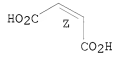
Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

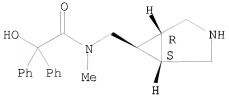


RN 893426-89-6 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-phenyl-, rel-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-86-3
CMF C21 H24 N2 O2

Relative stereochemistry.



CM 2

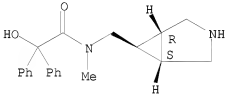
CRN 64-19-7
CMF C2 H4 O2

RN 893426-90-9 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-phenyl-, rel-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-86-3
CMF C21 H24 N2 O2

Relative stereochemistry.



CM 2

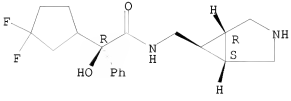
CRN 76-05-1
CMF C2 H F3 O2

RN 893426-92-1 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxy-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-91-0
CMF C19 H24 F2 N2 O2

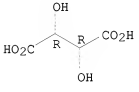
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

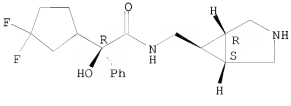


RN 893426-94-3 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxy-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-91-0
CMF C19 H24 F2 N2 O2

Absolute stereochemistry.



CM 2

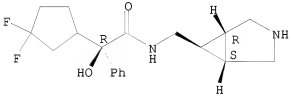
CRN 144-62-7
CMF C2 H2 O4

RN 893426-95-4 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxy-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

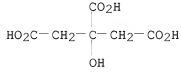
CRN 893426-91-0
CMF C19 H24 F2 N2 O2

Absolute stereochemistry.



CM 2

CRN 77-92-9
CMF C6 H8 O7

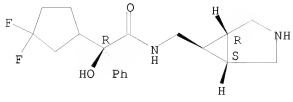


RN 893426-96-5 HCAPLUS
CN Propanedioic acid, compd. with N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxybenzeneacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-91-0
CMF C19 H24 F2 N2 O2

Absolute stereochemistry.



CM 2

CRN 141-82-2
CMF C3 H4 O4

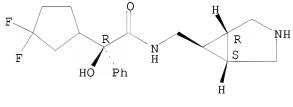
$HO_2C-CH_2-CO_2H$

RN 893426-97-6 HCAPLUS
CN Hexanedioic acid, compd. with N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxybenzeneacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-91-0
CMF C19 H24 F2 N2 O2

Absolute stereochemistry.



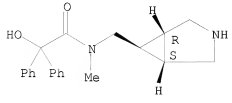
CM 2

CRN 124-04-9
CMF C6 H10 O4

$HO_2C-(CH_2)_4-CO_2H$

RN 893426-98-7 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-N-methyl-α-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.



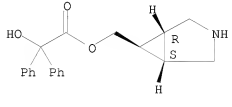
● HCl

RN 893427-00-4 HCAPLUS
CN Ascorbic acid, compd. with rel-(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl α-hydroxy-α-phenylbenzeneacetate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-99-8
CMF C20 H21 N O3

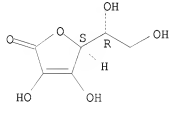
Relative stereochemistry.



CM 2

CRN 62624-30-0
CMF C6 H8 O6

Relative stereochemistry.

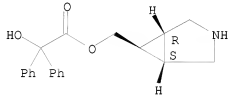


RN 893427-01-5 HCAPLUS
CN 1,3-Cyclopentanedicarboxylic acid, 1,2,2-trimethyl-, (1R,3S)-rel-, compd. with rel-(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl α-hydroxy-α-phenylbenzeneacetate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-99-8
CMF C20 H21 N O3

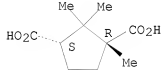
Relative stereochemistry.



CM 2

CRN 5394-83-2
CMF C10 H16 O4

Relative stereochemistry.

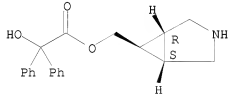


RN 893427-02-6 HCAPLUS
CN 3-Pyridinecarboxylic acid, compd. with
rel-(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl
α-hydroxy-α-phenylbenzeneacetate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-99-8
CMF C20 H21 N O3

Relative stereochemistry.



CM 2

CRN 59-67-6

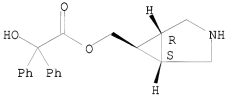
CMF C6 H5 N O2

RN 893427-03-7 HCAPLUS
CN Butanoic acid, compd. with rel-(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl α-hydroxy-α-phenylbenzeneacetate (1:1) (9CI) (CA INDEX NAME)

CM 1

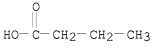
CRN 893426-99-8
CMF C20 H21 N O3

Relative stereochemistry.



CM 2

CRN 107-92-6
CMF C4 H8 O2

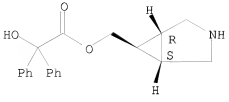


RN 893427-04-8 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-α-phenyl-, rel-(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester, 2-hydroxypropanoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893426-99-8
CMF C20 H21 N O3

Relative stereochemistry.

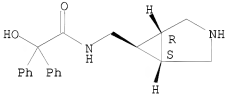


CM 2

CRN 50-21-5
CMF C3 H6 O3

RN 893427-05-9 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



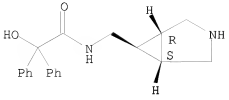
● HCl

RN 893427-07-1 HCAPLUS
CN D-Glucuronic acid, compd. with rel-N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-phenylbenzeneacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-06-0
CMF C20 H22 N2 O2

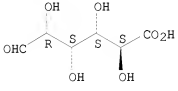
Relative stereochemistry.



CM 2

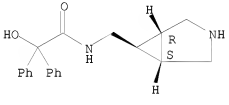
CRN 6556-12-3
CMF C6 H10 O7

Absolute stereochemistry.



RN 893427-09-3 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-phenyl-, monohydrobromide (9CI) (CA INDEX NAME)

Relative stereochemistry.



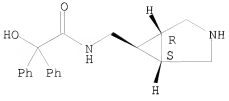
● HBr

RN 893427-10-6 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-phenyl-, rel-, phosphate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-06-0
CMF C20 H22 N2 O2

Relative stereochemistry.

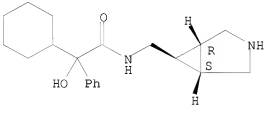


CM 2

CRN 7664-38-2
CMF H3 O4 P

RN 893427-11-7 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclohexyl-α-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



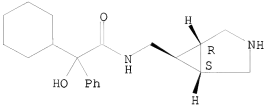
● HCl

RN 893427-13-9 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclohexyl-α-hydroxy-, rel-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-12-8
CMF C20 H28 N2 O2

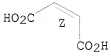
Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

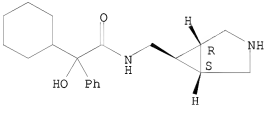


RN 893427-16-2 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclohexyl-α-hydroxy-, rel-, sulfate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-12-8
CMF C20 H28 N2 O2

Relative stereochemistry.



CM 2

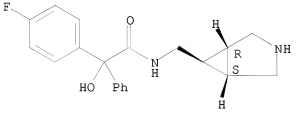
CRN 7664-93-9
CMF H2 O4 S

RN 893427-19-5 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-4-fluoro-α-hydroxy-α-phenyl-, rel-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-18-4
CMF C20 H21 F N2 O2

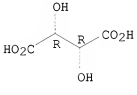
Relative stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

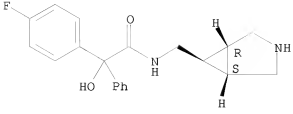


RN 893427-21-9 HCAPLUS
CN Butanedioic acid, compd. with rel-N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-4-fluoro-α-hydroxy-α-phenylbenzeneacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

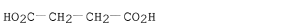
CRN 893427-18-4
CMF C20 H21 F N2 O2

Relative stereochemistry.



CM 2

CRN 110-15-6
CMF C4 H6 O4

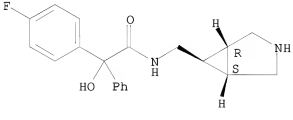


RN 893427-23-1 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-4-fluoro-α-hydroxy-α-phenyl-, rel-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-18-4
CMF C20 H21 F N2 O2

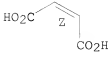
Relative stereochemistry.



CM 2

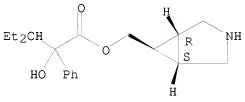
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 893427-25-3 HCAPLUS
CN Benzeneacetic acid, α-(1-ethylpropyl)-α-hydroxy-, (1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



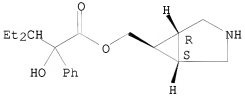
● HCl

RN 893427-27-5 HCAPLUS
CN Benzeneacetic acid, α-(1-ethylpropyl)-α-hydroxy-, (1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester, rel-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-26-4
CMF C19 H27 N O3

Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

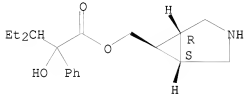
RN 893427-29-7 HCAPLUS
CN Benzeneacetic acid, α-(1-ethylpropyl)-α-hydroxy-,

(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester, rel-, nitrate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-26-4
CMF C19 H27 N O3

Relative stereochemistry.



CM 2

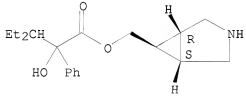
CRN 7697-37-2
CMF H N O3

RN 893427-31-1 HCAPLUS
CN Boric acid (H3BO3), compd. with rel-(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl α-(1-ethylpropyl)-α-hydroxybenzeneacetate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-26-4
CMF C19 H27 N O3

Relative stereochemistry.



CM 2

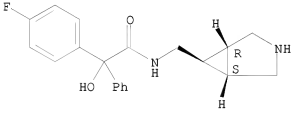
CRN 10043-35-3
CMF B H3 O3

RN 893427-32-2 HCAPLUS
CN Benzeneacetamide, N-[(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-4-fluoro-α-hydroxy-α-phenyl-, rel-, monoperchlorate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-18-4
CMF C20 H21 F N2 O2

Relative stereochemistry.

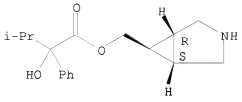


CM 2

CRN 7601-90-3
CMF Cl H O4

RN 893427-34-4 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-α-(1-methylethyl)-, (1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



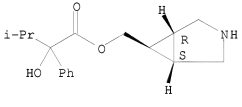
● HCl

RN 893427-36-6 HCAPLUS
CN Butanedioic acid, compd. with rel-(1R,5S)-3-azabicyclo[3.1.0]hex-6-ylmethyl α-hydroxy-α-(1-methylethyl)benzeneacetate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 893427-35-5
CMF C17 H23 N O3

Relative stereochemistry.



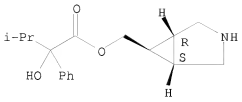
CM 2

CRN 110-15-6
CMF C4 H6 O4

$HO_2C-CH_2-CH_2-CO_2H$

RN 893427-38-8 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-α-(1-methylethyl)-, (1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester, hydrobromide (9CI) (CA INDEX NAME)

Relative stereochemistry.

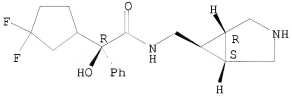


● HBr

IT 893426-91-0 893426-99-8 893427-06-0
893427-12-8 893427-18-4 893427-35-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azabicyclo[3.1.0]hexanes-acid addition salts as muscarinic receptor antagonists for treatment of urinary incontinence and bronchial asthma)

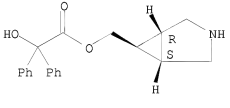
RN 893426-91-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-(3,3-difluorocyclopentyl)-α-hydroxy-, (αR)-
(CA INDEX NAME)

Absolute stereochemistry.



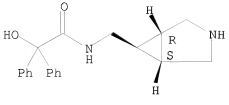
RN 893426-99-8 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-α-phenyl-,
(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester (9CI)
(CA INDEX NAME)

Relative stereochemistry.



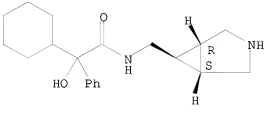
RN 893427-06-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



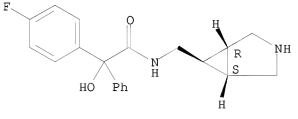
RN 893427-12-8 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclohexyl-α-hydroxy- (CA INDEX NAME)

Relative stereochemistry.



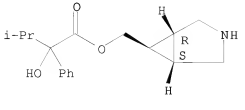
RN 893427-18-4 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-4-fluoro-α-hydroxy-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



RN 893427-35-5 HCAPLUS
CN Benzeneacetic acid, α-hydroxy-α-(1-methylethyl)-, (1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

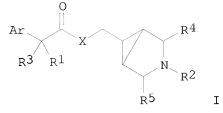


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

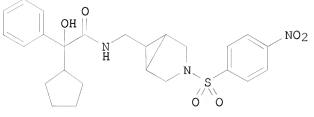
L4 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:318950 HCAPLUS
DOCUMENT NUMBER: 144:369923
TITLE: 3-Azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of prophylaxis of of respiratory, urinary, or gastrointestinal diseases
INVENTOR(S): Mehta, Anita; Salman, Mohammad; Sarma, Pakala Kumara Savithru; Aeron, Shelley; Chugh, Anita; Gupta, Suman
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035282	A2	20060406	WO 2005-IB2838	20050926
WO 2006035282	A3	20060518		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1796667	A2	20070620	EP 2005-789767	20050926
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN01636	A	20070803	IN 2007-DN1636	20070228
PRIORITY APPLN. INFO.:			IN 2004-DE1849 A	20040927
			WO 2005-IB2838 W	20050926

OTHER SOURCE(S): CASREACT 144:369923; MARPAT 144:369923
GI



I



II

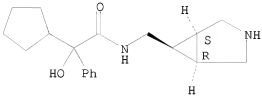
AB This invention generally relates to muscarinic receptor antagonists of formula I, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. Compds. of formula I wherein R1 is H, C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, cycloalkyl, (un)substituted amino, or OH and derivs.; R2 is carboxy, SO2R6, CO2R7, NH2 and derivs., or CONH2 and derivs., etc.; R3 is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl, aralkyl, or heterocyclyl(alkyl); R4 and R5 are independently H, C1-6 alkyl, C2-7 alkenyl, or C2-7 alkynyl; X is O, NH and derivs., C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, aralkyl, or aryl; Ar is (hetero)aryl or heterocyclyl; and their stereoisomers, polymorphs, pharmaceutically acceptable salts, and solvates thereof and methods for preparation are claimed. Example compound II was prepared by sulfonylation of N-(1α,5α,6α)-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-2-cyclopentyl-2-hydroxy-2-Ph acetamide with p-nitrophenylsulfonyl chloride. All the invention compds. were evaluated for their binding affinity towards muscarinic receptors. From the assay, it was determined that most of the invention compds. exhibited Ki values for M2 and M3 muscarinic receptors in the range of about 1000 nM to about 7.8 nM and 1000 nM to about 0.5 nM, resp.

IT 882168-34-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of azabicyclohexane derivs. as muscarinic receptor antagonists useful for treatment of prophylaxis of of respiratory, urinary, or gastrointestinal diseases)

RN 882168-34-5 HCAPLUS

CN Benzeneacetamide, N-[(1α,5α,6β)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

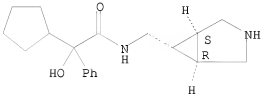
L4 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:30422 HCAPLUS
DOCUMENT NUMBER: 144:114451
TITLE: Solid oral dosage forms of azabicyclo derivatives
INVENTOR(S): Rao, Korlapati Venkateswara; Karatgi, Pradeep Jai Rao; Murthy, Ayanampudi Sri Rama
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006003587	A2	20060112	WO 2005-IB52104	20050624
WO 2006003587	A3	20060914		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2004DE01234	A	20060721	IN 2004-DE1234	20040701
IN 2007DN00722	A	20070427	IN 2007-DN722	20070125
PRIORITY APPLN. INFO.:			IN 2004-DE1234 A	20040701
			WO 2005-IB52104 W	20050624

AB The present invention relates to solid dosage forms for oral administration of an azabicyclo derivative or its pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs and metabolites; and processes for the preparation of such solid dosage forms. The solid dosage forms can be characterized as having excellent content uniformity. A capsule contained (2R)-(1-alpha, 5-alpha, 6-alpha)-N-[3-azabicyclohexyl-6-(aminomethyl)-yl]-2-hydroxy-2-cyclopentyl-2-Ph acetamide hydrochloride 0.10, lactose monohydrate 54.40, microcryst. cellulose 30.00, croscarmellose sodium 3.00, pre-gelatinized starch 10.00,

purified water q.s., magnesium stearate 1.00, talc 1.00, and colloidal silicon dioxide 0.50 mg.
IT 872994-89-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid oral dosage forms of azabicyclo derivs.)
RN 872994-89-3 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1075634 HCAPLUS
DOCUMENT NUMBER: 143:373316
TITLE: Combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms
INVENTOR(S): Chugh, Anita; Tiwari, Atul
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092341	A1	20051006	WO 2004-IB842	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,				

TD, TG
EP 1746998 A1 20070131 EP 2004-722336 20040322
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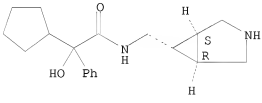
WO 2005092342 A1 20051006 WO 2004-IB866 20040323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
IN 2006DN06061 A 20070427 IN 2006-DN6061 20061017
IN 2006DN06389 A 20070831 IN 2006-DN6389 20061031
US 20080167317 A1 20080710 US 2008-593939 20080225
PRIORITY APPLN. INFO.: WO 2004-IB842 W 20040322
WO 2004-IB866 W 20040323

AB This invention relates to combination therapy for the treatment of benign prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS) associated with or without BPH. The combination therapy comprises of 1α adrenergic receptor (AR) subtype selective antagonist in combination with muscarinic receptor antagonist and optionally included Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or without BPH.

IT 646036-03-5 866097-19-0 866186-71-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms)

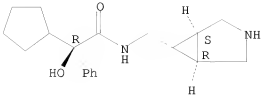
RN 646036-03-5 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-cyclopentyl-α-hydroxy-, (1α,5α,6α)- (CA INDEX NAME)

Relative stereochemistry.



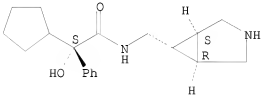
RN 866097-19-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 866186-71-2 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclopentyl-α-hydroxy-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:41201 HCAPLUS
DOCUMENT NUMBER: 140:111279
TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives useful as muscarinic receptor antagonists
INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt V.; Gupta, Jang Bahadur
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004629	A2	20040115	WO 2002-IB2663	20020708
WO 2004004629	A3	20040521		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA	2492121	A1	20040115	CA 2002-2492121	20020708
AU	2002345266	A1	20040123	AU 2002-345266	20020708
BR	2002015801	A	20050510	BR 2002-15801	20020708
EP	1546099	A2	20050629	EP 2002-743489	20020708
EP	1546099	B1	20081231		

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CN	1668585	A	20050914	CN 2002-829552	20020708
JP	2006502985	T	20060126	JP 2004-519029	20020708
NZ	537584	A	20060728	NZ 2002-537584	20020708
CA	2491998	A1	20040115	CA 2003-2491998	20030411
WO	2004005252	A1	20040115	WO 2003-IB1367	20030411

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AU	2003226579	A1	20040123	AU 2003-226579	20030411
BR	2003012572	A	20050510	BR 2003-12572	20030411
EP	1551803	A1	20050713	EP 2003-762827	20030411
EP	1551803	B1	20061011		

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CN	1681784	A	20051012	CN 2003-821130	20030411
JP	2005535655	T	20051124	JP 2004-519035	20030411
NZ	537585	A	20060728	NZ 2003-537585	20030411
AT	342253	T	20061115	AT 2003-762827	20030411
ES	2274275	T3	20070516	ES 2003-762827	20030411
AU	2004228452	A2	20041021	AU 2004-228452	20040106
AU	2004228452	A1	20041021		
CA	2522071	A1	20041021	CA 2004-2522071	20040106
WO	2004089900	A1	20041021	WO 2004-IB8	20040106

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EP	1626957	A1	20060222	EP 2004-700287	20040106

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR	2004009302	A	20060411	BR 2004-9302	20040106
CN	1795176	A	20060628	CN 2004-80014471	20040106
JP	2006522787	T	20061005	JP 2006-506251	20040106
NZ	542952	A	20081128	NZ 2004-542952	20040106
AU	2004228760	A1	20041021	AU 2004-228760	20040107

CA 2521989	A1	20041021	CA 2004-2521989		20040107	
WO 2004089364	A1	20041021	WO 2004-IB12		20040107	
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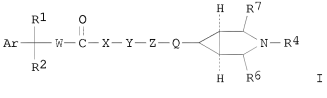
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
EP 1620087	A1	20060201	EP 2004-700488		20040107	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
BR 2004009308	A	20060502	BR 2004-9308		20040107	
CN 1794985	A	20060628	CN 2004-80014502		20040107	
JP 2006522788	T	20061005	JP 2006-506252		20040107	
NZ 542951	A	20081128	NZ 2004-542951		20040107	
MX 2005000434	A	20050419	MX 2005-434		20050107	
MX 2005000435	A	20050419	MX 2005-435		20050107	
US 20070004791	A1	20070104	US 2005-520573		20050107	
US 7399779	B2	20080715				
ZA 2005000952	A	20051012	ZA 2005-952		20050202	
ZA 2005000951	A	20060726	ZA 2005-951		20050202	
IN 2005DN00405	A	20071130	IN 2005-DN405		20050202	
IN 2005DN00406	A	20071130	IN 2005-DN406		20050202	
US 20060287380	A1	20061221	US 2005-552455		20051007	
US 20070021487	A1	20070125	US 2005-552503		20051007	
IN 2005DN05103	A	20071214	IN 2005-DN5103		20051108	
IN 2005DN05106	A	20071214	IN 2005-DN5106		20051108	
HK 1082728	A1	20070914	HK 2006-100635		20060113	
US 20060111425	A1	20060525	US 2006-520572		20060119	
US 7446123	B2	20081104	US 2008-552455		20080114	
PRIORITY APPLN. INFO.:			WO 2002-IB2663	W	20020708	
			WO 2003-IB1367	W	20030411	
			WO 2004-IB8	W	20040106	
			WO 2004-IB12	W	20040107	

OTHER SOURCE(S): MARPAT 140:111279

GI



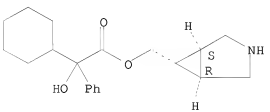
AB This invention generally relates to the derivs. of novel 3,6 disubstituted azabicyclo[3.1.0] hexanes. The title compds. [I; Ar = each (un)substituted aryl or heteroaryl having 1-2 hetero atoms selected from the group consisting of O, S and N atoms; R1 = H, HO, hydroxymethyl, amino, alkoxy, carbamoyl or halogen (e.g. F, Cl, Br, iodo); R2 = alkyl, C3-7 cycloalkyl, C3-7 cycloalkenyl, each (un)substituted aryl or

heteroaryl having 1 to 2 hetero atoms selected from a group consisting of O, S and N atoms; W = (CH2)p (where p = 0, 1); X = O, S, N, no atom; Y = CHR5CO (wherein R5 = H, Me) or (CH2)q (wherein q = 0-4); Z = O, S, NR10 (wherein R10 = H, C1-6 alkyl); Q = (CH2)n (wherein n = 0-4), or CHR5 (wherein R5 = H, OH, C1-6 alkyl, alkenyl alkoxy) or CH2CHR9 (wherein R9 = H, OH, C1-4 alkyl, C1-C4 alkoxy); R6, R7 = CO2H, H, Me, CONH2, NH2, CH2NH2; R4 = (un)substituted C1-15 saturated or unsatd. aliphatic hydrocarbon groups], pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites thereof are prepared These compds., e.g. (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2,2-diphenylacetamide, (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclohexyl-2-phenylacetamide, (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclopentyl-2-phenylacetamide, (1α,5α,6α)-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl] 2-hydroxy-2,2-diphenylacetate, and are muscarinic receptor antagonists which are useful, inter-alia for the treatment or prophylaxis of various diseases or disorders of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. In particular, the diseases or disorders are urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity, and diabetes or gastrointestinal hyperkinesis.

IT 646035-99-6P 646036-01-3P 646036-03-5P
893427-06-0P 893427-12-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of disubstituted azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists for treatment or prophylaxis of muscarinic receptor-mediated diseases or disorders)

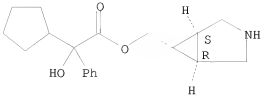
RN 646035-99-6 HCAPLUS
CN Benzeneacetic acid, α-cyclohexyl-α-hydroxy-, (1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



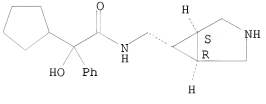
RN 646036-01-3 HCAPLUS
CN Benzeneacetic acid, α-cyclopentyl-α-hydroxy-, (1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



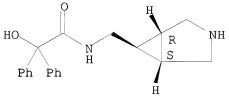
RN 646036-03-5 HCAPLUS
CN Benzeneacetamide, N-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-α-cyclopentyl-α-hydroxy-, (1α,5α,6α)- (CA INDEX NAME)

Relative stereochemistry.



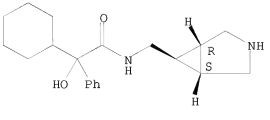
RN 893427-06-0 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-hydroxy-α-phenyl- (CA INDEX NAME)

Relative stereochemistry.



RN 893427-12-8 HCAPLUS
CN Benzeneacetamide, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-α-cyclohexyl-α-hydroxy- (CA INDEX NAME)

Relative stereochemistry.



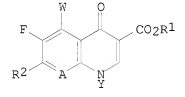
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:517227 HCAPLUS
DOCUMENT NUMBER: 119:117227
ORIGINAL REFERENCE NO.: 119:21087a,21090a
TITLE: Preparation of azabicycloalkylquinolones and -naphthyridinones as antibacterials
INVENTOR(S): Brighty, Katherine E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 551,212, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5164402	A	19921117	US 1991-650835	19910204 <--
US 5229396	A	19930720	US 1992-919477	19920724 <--
US 5266569	A	19931130	US 1993-12202	19930202 <--
US 5391763	A	19950221	US 1993-88999	19930826 <--
PRIORITY APPLN. INFO.:			US 1990-551212	B2 19900711
			US 1991-650835	A3 19910204
			US 1992-919477	A3 19920724
			US 1993-12202	A3 19930202

OTHER SOURCE(S): MARPAT 119:117227
GI



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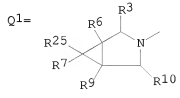
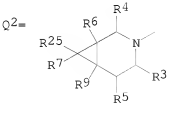
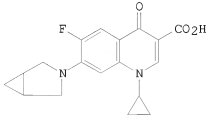






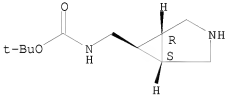
II

AB Title compds. [I; R1 = H, alkyl, pharmaceutically acceptable cation; Y = Et, Me3C, vinyl cyclopropyl, FCH2CH2, 4-FC6H4, 2,4-F2C6H34; W = F, Cl, Br, alkyl, alkoxy, (methyl)amino; A = CH, CCl, C(OMe), CMe, CCN, N; AY = atoms to form a (0-or double bond-containing) (substituted) 5-6 membered ring; R2 = Q1, Q2; R3, R4, R5, R6, R7, R9 = H, Me, CH2NH2, CH2NHMe, CH2NHEt; R5, R6, R1, R9 may also = NH2, NHMe, NHEt; ≤3 of R3, R4, R6, R7, R9, R10, R25 ≠ H; if 3 of these ≠ H, ≥1 of them = Me], were prepared as antibacterials (no data). Thus, 3-azabicyclo[3.1.0]hexane hydrochloride was heated with 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinolinecarboxylic acid and Et3N in MgSO to give title compound II.

IT 134575-12-5P 134575-23-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for quinolone or naphthyridinone antibacterial)

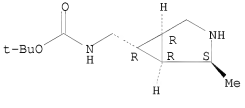
RN 134575-12-5 HCAPLUS
CN Carbamic acid, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Relative stereochemistry.



RN 134575-23-8 HCAPLUS
CN Carbamic acid, [(2-methyl-3-azabicyclo[3.1.0]hex-6-yl)methyl]-, 1,1-dimethylethyl ester, (1α,2β,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L15 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:632216 HCAPLUS
DOCUMENT NUMBER: 115:232216
ORIGINAL REFERENCE NO.: 115:39577a,39580a
TITLE: Preparation of 7-(azabicycloalkyl)quinolone- and -naphthyridonecarboxylates as antibacterials
INVENTOR(S): Brighty, Katherine Elizabeth
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

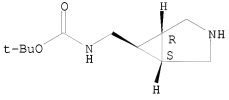
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 413455	A2	19910220	EP 1990-308331	19900730	<--
EP 413455	A3	19911009			
EP 413455	B1	19950621			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
WO 9102526	A1	19910307	WO 1989-US3489	19890816	<--
W: FI, HU, NO, SU, US					
HU 59919	A2	19920728	HU 1992-460	19890816	<--
HU 219403	B	20010428			
RU 2049777	C1	19951210	RU 1989-5011662	19890816	<--
ES 2074131	T3	19950901	ES 1990-308331	19900730	<--
IL 95331	A	19950731	IL 1990-95331	19900809	<--
CA 2023217	A1	19910217	CA 1990-2023217	19900814	<--
CA 2023217	C	19961210			
PL 166381	B1	19950531	PL 1990-286484	19900814	<--
CA 2127561	C	19980728	CA 1990-2127561	19900814	<--
AU 9061042	A	19910221	AU 1990-61042	19900815	<--
AU 623801	B2	19920521			
CN 1049501	A	19910227	CN 1990-106794	19900815	<--
CN 1025192	C	19940629			
DD 298399	A5	19920220	DD 1990-343474	19900815	<--
ZA 9006450	A	19920325	ZA 1990-6450	19900815	<--
JP 03086875	A	19910411	JP 1990-216461	19900816	<--
JP 07002734	B	19950118			
CZ 281127	B6	19960612	CZ 1990-4027	19900816	<--
NO 9200599	A	19920414	NO 1992-599	19920214	<--
NO 300214	B1	19970428			
FI 108228	B1	20011214	FI 1992-632	19920214	<--
JP 07149758	A	19950613	JP 1994-157008	19940708	<--
JP 08019099	B	19960228			
FI 9604520	A	19961111	FI 1996-4520	19961111	<--
FI 103879	B	19991015			
FI 103879	B1	19991015			

PRIORITY APPLN. INFO.: WO 1989-US3489 A 19890816
CA 1990-2023217 A3 19900814
FI 1992-632 A 19920214

OTHER SOURCE(S): MARPAT 115:232216
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R1 = H, alkyl, cation; Y = Et, Me3C, H2C:CH cyclopropyl, FCH2CH2, 4-FC6H4, 2,4-F2C6H3; W = H, F, Cl, Br, alkyl, alkoxy, amino, aminomethyl; A = CH, CF, CCl, COMe, CMe, CCN, N; AY = atoms to form a 5- or 6-membered ring, optionally containing O or a double bond and optionally substituted by Me or :CH2; R2 = (Me-, H2NCH2-, MeNHCH2-, EtNHCH2-, etc. substituted) Q1, Q2], were prepared as antibacterials (no data). Thus, a mixture of 3-azabicyclo[3.1.0]hexane hydrochloride, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid, Et3N, and Me2SO was heated 18 h to give title compound II.
IT 134575-12-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of (azabicycloalkyl)quinolone antibacterial)

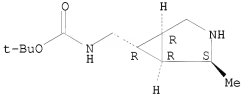
RN 134575-12-5 HCAPLUS
CN Carbamic acid, N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Relative stereochemistry.



IT 134575-23-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for (azabicycloalkyl)quinolone)
RN 134575-23-8 HCAPLUS
CN Carbamic acid, [(2-methyl-3-azabicyclo[3.1.0]hex-6-yl)methyl]-, 1,1-dimethylethyl ester, (1α,2β,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> d l12 ibib abs tot

L12 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:771160 HCAPLUS
DOCUMENT NUMBER: 149:87637
TITLE: Modified-release formulations of azabicyclo derivatives
INVENTOR(S): Ketkar, Anant Ramesh; Kumar, Pratik; Rampal, Ashok
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 17pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008075321	A2	20080626	WO 2007-IB55299	20071221
WO 2008075321	A3	20080821		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

IN 2006DE02751 A 20080801 IN 2006-DE2751 20061221
PRIORITY APPLN. INFO.: IN 2006-DE2751 A 20061221

AB The present invention discloses modified-release oral dosage forms of an azabicyclo derivative or its pharmaceutically acceptable salts, solvates, esters, enantiomers, diastereomers, N-oxides and polymorphs; and processes for the preparation thereof. The modified release formulation comprises an azabicyclo derivative, at least one rate-controlling polymer and at least one pharmaceutically acceptable excipient which provides therapeutically effective plasma levels of the active ingredient for a period of up to 24 h. Thus, tablet was prepared containing (2R)-(1α,5α,6α)-N-[3-azabicyclo[3.1.0]hexyl-6-(aminomethyl)-yl]-2-hydroxyl-2cyclopentyl-2-Ph acetamide hydrochloride 0.112 mg, microcryst. cellulose 175.888 mg, hydroxypropyl methylcellulose 70.0 mg, talc 1.250 mg, colloidal anhydrous silica 1.0 mg, magnesium stearate 1.750 mg, and water as needed.

L12 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:464247 HCAPLUS
DOCUMENT NUMBER: 146:468545
TITLE: Pharmaceutical compositions of muscarinic receptor antagonists
INVENTOR(S): Ray, Abhijit; Dastidar, Sunanda G.; Shirumalla, Rajkumar; Malhotra, Shivani
PATENT ASSIGNEE(S): Ranbaxy Laboratories Ltd., India
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007045979	A1	20070426	WO 2006-IB2930	20061019

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2006305619	A1	20070426	AU 2006-305619		20061019
CA 2626612	A1	20070426	CA 2006-2626612		20061019
EP 1948164	A1	20080730	EP 2006-809068		20061019
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
IN 2008DN03736	A	20080815	IN 2008-DN3736		20080501
PRIORITY APPLN. INFO.:			IN 2005-DE2794	A	20051019
			WO 2006-IB2930	W	20061019

OTHER SOURCE(S): MARPAT 146:468545

AB Pharmaceutical compns. are provided comprising one or more muscarinic receptor antagonists (MRA), and at least one addn1. active ingredients selected from one or more β2-agonists, p38 MAP kinase inhibitors, PDE-IV inhibitors, corticosteroids, etc., or a mixture thereof and optionally one or more pharmaceutically acceptable carriers, excipients or diluents. In addition, methods of treating autoimmune, inflammatory or allergic diseases or disorders are provided. For example, a synergistic effect was observed with the combination of muscarinic antagonist (2R)-(1a,5a,6a)-N-[3-azabicyclo[3.1.0]hexyl-6-(aminomethyl)-yl]-2-hydroxy-2-cyclopentyl 2-phenylacetamide hydrochloride (Compound 66) with PDE-IV inhibitor roflumilast for relaxing carbachol-precontracted guinea pig isolated trachea.

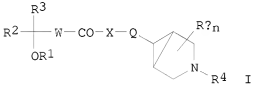
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1174148 HCAPLUS
DOCUMENT NUMBER: 145:471412
TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases
INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Kaur, Kirandeep; Aeron, Shelly; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Mehta, Anita; Chugh, Anita
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 79pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117754	A1	20061109	WO 2006-IB51368	20060501
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

KG, KZ, MD, RU, TJ, TM
EP 1888525 A1 20080220 EP 2006-728107 20060501
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
IN 2007DN09221 A 20080118 IN 2007-DN9221 20071129
US 20080319043 A1 20081225 US 2008-913599 20080730
PRIORITY APPLN. INFO.: IN 2005-DE1810 A 20050503
IN 2006-DE1681 A 20060328
WO 2006-IB51368 W 20060501
OTHER SOURCE(S): MARPAT 145:471412
GI



I

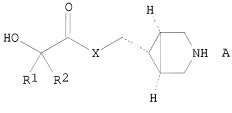
AB The present invention generally relates to azabicyclo[3.1.0]hexane derivs. (shown as I; variables defined below; e.g. N-(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-phenyl-2-(2-thienyl)acetamide (1)) as muscarinic receptor antagonists, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I: R1 is H or alkyl; R2 is straight or branched alkyl alkenyl, alkynyl, aryl, cycloalkyl, cycloalkylalkyl or heteroaryl (un)substituted with ≥1 alkyl, hydroxy or halogen. R3 is aryl or heteroaryl (un)substituted with ≥1 alkyl, hydroxy or halogen; W = -(CH2)i; Q = -(CH2)j; X is O or -N(R5)-; R4 is H, straight or branched alkyl, straight or branched alkenyl, aralkyl or heteroarylalkyl wherein the said aralkyl or heteroarylalkyl is further substituted with alkyl, -NH2 or alkoxycarbonylamino; R5 is H or alkyl; Rw is H or Me; and n, i, j = 0-2. Results of radioligand binding assays for M2 and M3 muscarinic receptors are reported for many examples of I. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.120 examples of I are included. For example, 1 was prepared from hydroxy(phenyl)(thien-2-yl)acetic acid and 3-benzyl-3-azabicyclo[3.1.0]hexan-6-amine in DMF using hydroxybenzotriazole, N-methylmorpholine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:605804 HCAPLUS
DOCUMENT NUMBER: 145:83209
TITLE: Preparation of azabicyclo[3.1.0]hexanes-acid addition salts as muscarinic receptor antagonists
INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Yadav, Gyan Chand;

Sarma, Pakala Kumara Savithru
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006064304	A1	20060622	WO 2004-IB4142	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1828126	A1	20070905	EP 2004-806353	20041215
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN04735	A	20070817	IN 2007-DN4735	20070619

PRIORITY APPLN. INFO.: WO 2004-IB4142 W 20041215
OTHER SOURCE(S): CASREACT 145:83209; MARPAT 145:83209
GI



I

AB Title compds. I [R1 = optionally substituted phenyl; R2 = optionally substituted alkyl with halo, optionally substituted Ph with halo, optionally substituted cycloalkyl with halo; X = -NH-, -O-, NMe; A = organic acid selected from acetic acid, succinic acid, maleic acid, etc., inorg. acid selected from hydrochloric acid, hydrobromic acid, phosphoric acid, etc. with the proviso that A can not be tartaric acid when R1 and R2 are Ph and X is -NMe] and pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, prodrugs, polymorphs and metabolites thereof were prepared For example, a mixture of (2R)-N-[(1α,5α,6α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-2-(3,3-difluorocyclopentyl)-2-hydroxy-2-phenylacetamide (II) and L-tartaric acid was stirred at room temperature for 4 h to give L-tartaric acid salt of compound II. In muscarinic receptor binding assays, the Ki values of 34 examples were in the range of from about 0.01 to about 2 nM for rat M3 receptors, from about 0.01 to about about 25 nM for rat M2 receptors.

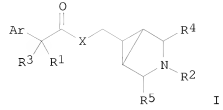
Compds. I are claimed useful for the treatment of urinary incontinence, bronchial asthma, etc.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:318950 HCAPLUS
DOCUMENT NUMBER: 144:369923
TITLE: 3-Azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of prophylaxis of of respiratory, urinary, or gastrointestinal diseases
INVENTOR(S): Mehta, Anita; Salman, Mohammad; Sarma, Pakala Kumara Savithru; Aeron, Shelley; Chugh, Anita; Gupta, Suman
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035282	A2	20060406	WO 2005-IB2838	20050926
WO 2006035282	A3	20060518		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1796667	A2	20070620	EP 2005-789767	20050926
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN01636	A	20070803	IN 2007-DN1636	20070228

PRIORITY APPLN. INFO.: IN 2004-DE1849 A 20040927
WO 2005-IB2838 W 20050926

OTHER SOURCE(S): CASREACT 144:369923; MARPAT 144:369923
GI



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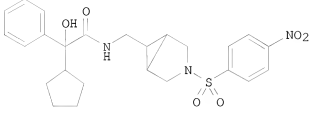

II

AB This invention generally relates to muscarinic receptor antagonists of formula I, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical comps. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. Compds. of formula I wherein R1 is H, C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, cycloalkyl, (un)substituted amino, or OH and derivs.; R2 is carboxy, SO2R6, CO2R7, NH2 and derivs., or CONH2 and derivs., etc.; R3 is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl, aralkyl, or heterocyclyl(alkyl); R4 and R5 are independently H, C1-6 alkyl, C2-7 alkenyl, or C2-7 alkynyl; X is O, NH and derivs., C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, aralkyl, or aryl; Ar is (hetero)aryl or heterocyclyl; and their stereoisomers, polymorphs, pharmaceutically acceptable salts, and solvates thereof and methods for preparation are claimed. Example compound II was prepared by sulfonylation of N-(1α,5α,6α)-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-2-cyclopentyl-2-hydroxy-2-Ph acetamide with p-nitrophenylsulfonyl chloride. All the invention compds. were evaluated for their binding affinity towards muscarinic receptors. From the assay, it was determined that most of the invention compds. exhibited Ki values for M2 and M3 muscarinic receptors in the range of about 1000 nM to about 7.8 nM and 1000 nM to about 0.5 nM, resp.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:30422 HCAPLUS

DOCUMENT NUMBER: 144:114451

TITLE: Solid oral dosage forms of azabicyclo derivatives

INVENTOR(S): Rao, Korlapati Venkateswara; Karatgi, Pradeep Jai Rao; Murthy, Ayanampudi Sri Rama

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006003587	A2	20060112	WO 2005-IB52104	20050624
WO 2006003587	A3	20060914		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

IN 2004DE01234	A	20060721	IN 2004-DE1234		20040701
IN 2007DN00722	A	20070427	IN 2007-DN722		20070125
PRIORITY APPLN. INFO.:			IN 2004-DE1234	A	20040701
			WO 2005-IB52104	W	20050624

AB The present invention relates to solid dosage forms for oral administration of an azabicyclo derivative or its pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs and metabolites; and processes for the preparation of such solid dosage forms. The solid dosage forms can be characterized as having excellent content uniformity. A capsule contained (2R)-(1-alpha, 5-alpha, 6-alpha)-N-[3-azabicyclohexyl-6-(aminomethyl)-yl]-2-hydroxy-2-cyclopentyl-2-Ph acetamide hydrochloride 0.10, lactose monohydrate 54.40, microcryst. cellulose 30.00, croscarmellose sodium 3.00, pre-gelatinized starch 10.00, purified water q.s., magnesium stearate 1.00, talc 1.00, and colloidal silicon dioxide 0.50 mg.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1075634 HCAPLUS
DOCUMENT NUMBER: 143:373316
TITLE: Combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms
INVENTOR(S): Chugh, Anita; Tiwari, Atul
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092341	A1	20051006	WO 2004-IB842	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1746998	A1	20070131	EP 2004-722336	20040322
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WO 2005092342	A1	20051006	WO 2004-IB866	20040323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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IN 2006DN06061	A	20070427	IN 2006-DN6061	20061017
IN 2006DN06389	A	20070831	IN 2006-DN6389	20061031
US 20080167317	A1	20080710	US 2008-593939	20080225
PRIORITY APPLN. INFO.:			WO 2004-IB842 W	20040322
			WO 2004-IB866 W	20040323

AB This invention relates to combination therapy for the treatment of benign prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS) associated with or without BPH. The combination therapy comprises of 1α adrenergic receptor (AR) subtype selective antagonist in combination with muscarinic receptor antagonist and optionally included Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or without BPH.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	2004:41201 HCAPLUS
DOCUMENT NUMBER:	140:111279
TITLE:	Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives useful as muscarinic receptor antagonists
INVENTOR(S):	Mehta, Anita; Silamkoti, Arundutt V.; Gupta, Jang Bahadur
PATENT ASSIGNEE(S):	Ranbaxy Laboratories Limited, India
SOURCE:	PCT Int. Appl., 72 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004629	A2	20040115	WO 2002-IB2663	20020708
WO 2004004629	A3	20040521		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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CA 2492121	A1	20040115	CA 2002-2492121	20020708
AU 2002345266	A1	20040123	AU 2002-345266	20020708
BR 2002015801	A	20050510	BR 2002-15801	20020708
EP 1546099	A2	20050629	EP 2002-743489	20020708
EP 1546099	B1	20081231		
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CN 1668585	A	20050914	CN 2002-829552	20020708
JP 2006502985	T	20060126	JP 2004-519029	20020708
NZ 537584	A	20060728	NZ 2002-537584	20020708
CA 2491998	A1	20040115	CA 2003-2491998	20030411
WO 2004005252	A1	20040115	WO 2003-IB1367	20030411
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AU 2003226579	A1	20040123	AU 2003-226579	20030411
BR 2003012572	A	20050510	BR 2003-12572	20030411
EP 1551803	A1	20050713	EP 2003-762827	20030411
EP 1551803	B1	20061011		
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CN 1681784	A	20051012	CN 2003-821130	20030411
JP 2005535655	T	20051124	JP 2004-519035	20030411
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AT 342253	T	20061115	AT 2003-762827	20030411
ES 2274275	T3	20070516	ES 2003-762827	20030411
AU 2004228452	A2	20041021	AU 2004-228452	20040106
AU 2004228452	A1	20041021		
CA 2522071	A1	20041021	CA 2004-2522071	20040106
WO 2004089900	A1	20041021	WO 2004-IB8	20040106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
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EP	1626957	A1	20060222	EP 2004-700287		20040106
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BR	2004009302	A	20060411	BR 2004-9302		20040106
CN	1795176	A	20060628	CN 2004-80014471		20040106
JP	2006522787	T	20061005	JP 2006-506251		20040106
NZ	542952	A	20081128	NZ 2004-542952		20040106
AU	2004228760	A1	20041021	AU 2004-228760		20040107
CA	2521989	A1	20041021	CA 2004-2521989		20040107
WO	2004089364	A1	20041021	WO 2004-IB12		20040107
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP	1620087	A1	20060201	EP 2004-700488		20040107
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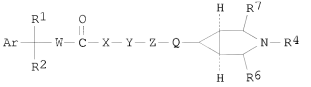
BR	2004009308	A	20060502	BR 2004-9308		20040107
CN	1794985	A	20060628	CN 2004-80014502		20040107
JP	2006522788	T	20061005	JP 2006-506252		20040107
NZ	542951	A	20081128	NZ 2004-542951		20040107
MX	2005000434	A	20050419	MX 2005-434		20050107
MX	2005000435	A	20050419	MX 2005-435		20050107
US	20070004791	A1	20070104	US 2005-520573		20050107
US	7399779	B2	20080715			
ZA	2005000952	A	20051012	ZA 2005-952		20050202
ZA	2005000951	A	20060726	ZA 2005-951		20050202
IN	2005DN00405	A	20071130	IN 2005-DN405		20050202
IN	2005DN00406	A	20071130	IN 2005-DN406		20050202
US	20060287380	A1	20061221	US 2005-552455		20051007
US	20070021487	A1	20070125	US 2005-552503		20051007
IN	2005DN05103	A	20071214	IN 2005-DN5103		20051108
IN	2005DN05106	A	20071214	IN 2005-DN5106		20051108
HK	1082728	A1	20070914	HK 2006-100635		20060113
US	20060111425	A1	20060525	US 2006-520572		20060119
US	7446123	B2	20081104	US 2008-552455		20080114

PRIORITY APPLN. INFO.:	WO 2002-IB2663	W	20020708
	WO 2003-IB1367	W	20030411
	WO 2004-IB8	W	20040106
	WO 2004-IB12	W	20040107

OTHER SOURCE(S): MARPAT 140:111279
GI



AB This invention generally relates to the derivs. of novel 3,6 disubstituted azabicyclo[3.1.0] hexanes. The title compds. [I; Ar = each (un)substituted aryl or heteroaryl having 1-2 hetero atoms selected from the group consisting of O, S and N atoms; R1 = H, HO, hydroxymethyl, amino, alkoxy, carbamoyl or halogen (e.g. F, Cl, Br, iodo); R2 = alkyl, C3-7 cycloalkyl, C3-7 cycloalkenyl, each (un)substituted aryl or heteroaryl having 1 to 2 hetero atoms selected from a group consisting of O, S and N atoms; W = (CH2)p (where p = 0, 1); X = O, S, N, no atom; Y = CHR5CO (wherein R5 = H, Me) or (CH2)q (wherein q = 0-4); Z = O, S, NR10 (wherein R10 = H, C1-6 alkyl); Q = (CH2)n (wherein n = 0-4), or CHR5 (wherein R5 = H, OH, C1-6 alkyl, alkenyl alkoxy) or CH2CHR9 (wherein R9 = H, OH, C1-4 alkyl, C1-C4 alkoxy); R6, R7 = CO2H, H, Me, CONH2, NH2, CH2NH2; R4 = (un)substituted C1-15 saturated or unsatd. aliphatic hydrocarbon groups], pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites thereof are prepared These compds., e.g. (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2,2-diphenylacetamide, (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclohexyl-2-phenylacetamide, (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclopentyl-2-phenylacetamide, (1α,5α,6α)-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl] 2-hydroxy-2,2-diphenylacetate, and are muscarinic receptor antagonists which are useful, inter-alia for the treatment or prophylaxis of various diseases or disorders of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. In particular, the diseases or disorders are urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity, and diabetes or gastrointestinal hyperkinesis.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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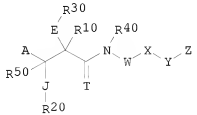
L17 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:793702 HCAPLUS
DOCUMENT NUMBER: 147:166197
TITLE: Preparation of tartaric acid functional compounds for the treatment of disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α
INVENTOR(S): Siddiqui, M. Arshad; Mansoor, Umar Faruk; Reddy, Panduranga Adulla P.; Madison, Vincent S.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S. Pat. Appl. Publ., 556pp., Cont.-in-part of U.S. Ser. No. 291,595.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20070167426	A1	20070719	US 2006-599784		20061115 <--
US 20060252778	A1	20061109	US 2005-142601		20050601 <--
US 20060178366	A1	20060810	US 2005-291595		20051201 <--
PRIORITY APPLN. INFO.:			US 2004-576153P	P	20040602
			US 2005-142601	A2	20050601
			US 2005-291595	A2	20051201

OTHER SOURCE(S): MARPAT 147:166197
GI



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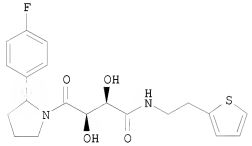

II

AB The title compds. I [A = (un)substituted benzimidazol-2-yl, imidazol-2-yl, CONH2, CSNH2, etc.; J, E = O, S, NR5 (wherein R5 = H, alkyl, alkylaryl); T = O, S; R10, R20 = H, alkyl, fluoroalkyl; R30 = H, alkyl or R30 and R40, taken together with N to which R40 is attached, are joined to form 4-7 membered (un)substituted heterocyclyl; R40, R50 = H, alkyl; W = [C(R13)2]n (wherein n = 0-5 or a bond; R13 = H, halo, OH, etc.); X = a bond, alkyl, cycloalkyl, etc.; Y = a bond, O, S, NH, etc.; Z = H, alkyl, aryl, etc.; or their pharmaceutically acceptable salts] which can be useful for the treatment of diseases or conditions mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α, were prepared E.g., a multi-step synthesis of II, starting from 2,2-dimethyl-[1,3]dioxolane-4R,5R-dicarboxylic acid monomethyl ester and 2-(thien-1-yl)ethylamine, was given. The compds. I were tested against LpxC and ADMP (biol. data given for representative compds. I).

L17 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:61790 HCAPLUS
DOCUMENT NUMBER: 146:162865
TITLE: Preparation of azabicyclic compounds as muscarinic receptor antagonists
INVENTOR(S): Kumar, Naresh; Kaur, Kirandeep; Sinha, Sandeep; Gupta, Suman; Palle, Venkata P.; Chugh, Anita
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 95pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007007282	A2	20070118	WO 2006-IB52350	20060711
WO 2007007282	A3	20070510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
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EP 1904446	A2	20080402	EP 2006-780040	20060711
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IN 2008DN00812	A	20080425	IN 2008-DN812	20080129
US 20090012116	A1	20090108	US 2008-995376	20080910 <--
PRIORITY APPLN. INFO.:			IN 2005-DE1797 A	20050711
			WO 2006-IB52350 W	20060711

OTHER SOURCE(S): MARPAT 146:162865
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [ring A represents a nitrogen-containing C4-C8 cyclic ring; T = bridging group selected from -(CH2)n-, -CH(Q)CH2-, -CH(Q)-, etc., wherein bridging group attached to two carbon atoms of ring A; Q = alkyl, alkenyl, alkynyl, etc.; n = 0-3; X = O, S or NRs; Rs = H, alkyl, cycloalkyl, etc.; Y = alkylene or no atom (wherein when Y is no atom, then X is directly attached to B); Z = NHR2, aryl, cycloalkyl, etc.; R2 = alkyl, aryl, aralkyl, etc.; R1 = H, aralkyl or Ru; Ru = alkyl, halo, aryl, etc.], pharmaceutically acceptable salts, solvates, enantiomers, diastereomers, polymorphs or N-oxides thereof were prepared For example, reaction of diphenylphosphoryl azide with biphenyl-2-carboxylic acid

followed by in-situ treatment with (3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)methanol, e.g., prepared from N-benzylmaleimide in 3 steps, afforded compound II. The compds. described herein exhibited Ki values for M2 and M3 receptors with 4-2170 nM and 0.1-1000 μM, resp. Compds. I are claimed useful for the treatment of urinary incontinence, bronchial asthma, etc.

L17 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	2007:61789 HCAPLUS
DOCUMENT NUMBER:	146:142519
TITLE:	preparation of isoquinoline derivatives as muscarinic receptor antagonists
INVENTOR(S):	Kumar, Naresh; Salman, Mohammad; Kaur, Kirandeep; Chugh, Anita; Sinha, Sandeep
PATENT ASSIGNEE(S):	Ranbaxy Laboratories Limited, India
SOURCE:	PCT Int. Appl., 57pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007007281	A2	20070118	WO 2006-IB52349	20060711
WO 2007007281	A3	20070510		
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EP 1904495	A2	20080402	EP 2006-780039	20060711
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IN 2008DN00811	A	20080425	IN 2008-DN811	20080129
US 20080255188	A1	20081016	US 2008-995433	20080611 <--
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			WO 2006-IB52349 W	20060711
OTHER SOURCE(S):	MARPAT 146:142519			
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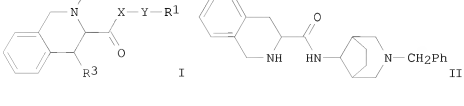

AB The title isoquinoline derivs. I [wherein R1 = (un)substituted azabicyclyl; R2 = H, (cyclo)alkyl, heterocyclyl(alkyl), etc.; R3 = H, (cyclo)alkyl, alkenyl, heterocyclyl, etc.], or pharmaceutically acceptable salts, solvates, enantiomers, diastereomers, polymorphs, N-oxides, esters, prodrugs, or metabolites thereof were prepared as muscarinic receptor antagonists for the treatment of respiratory, urinary, and gastrointestinal systems diseases (no data). For example, I was prepared in a multi-step synthesis. Most compds. showed inhibitory activity with pKi in the range of 5.5 to 8.5 against muscarinic receptors.

L17 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1174148 HCAPLUS
DOCUMENT NUMBER: 145:471412
TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases
INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Kaur, Kirandeep; Aeron, Shelly; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Mehta, Anita; Chugh, Anita
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 79pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117754	A1	20061109	WO 2006-IB51368	20060501
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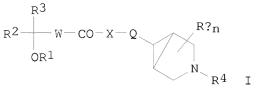
EP 1888525	A1	20080220	EP 2006-728107	20060501
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN09221	A	20080118	IN 2007-DN9221	20071129
US 20080319043	A1	20081225	US 2008-913599	20080730 <--

PRIORITY APPLN. INFO.:

IN 2005-DE1810	A	20050503
IN 2006-DE1681	A	20060328
WO 2006-IB51368	W	20060501

OTHER SOURCE(S): MARPAT 145:471412
GI



AB The present invention generally relates to azabicyclo[3.1.0]hexane derivs. (shown as I; variables defined below; e.g. N-(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-phenyl-2-(2-thienyl)acetamide (1)) as muscarinic receptor antagonists, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I: R1 is H or alkyl; R2 is straight or branched alkyl alkenyl, alkynyl, aryl, cycloalkyl, cycloalkylalkyl or heteroaryl (un)substituted with ≥1 alkyl, hydroxy or halogen. R3 is aryl or heteroaryl (un)substituted with ≥1 alkyl, hydroxy or halogen; W = -(CH2)i; Q = -(CH2)j; X is O or -N(R5)-; R4 is H, straight or branched alkyl, straight or branched alkenyl, aralkyl or heteroarylalkyl wherein the said aralkyl or heteroarylalkyl is further substituted with alkyl, -NH2 or alkoxycarbonylamino; R5 is H or alkyl; Rw is H or Me; and n, i, j = 0-2. Results of radioligand binding assays for M2 and M3 muscarinic receptors are reported for many examples of I. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.120 examples of I are included. For example, 1 was prepared from hydroxy(phenyl)(thien-2-yl)acetic acid and 3-benzyl-3-azabicyclo[3.1.0]hexan-6-amine in DMF using hydroxybenzotriazole, N-methylmorpholine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1070195 HCAPLUS
DOCUMENT NUMBER: 145:419146
TITLE: Preparation of bicyclic [3.1.0] heteroaryl amides as type 1 glycine transport inhibitors
INVENTOR(S): Michardy, Stanton Furst; Lowe, John Adams, III
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 103pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006106425	A1	20061012	WO 2006-IB947	20060327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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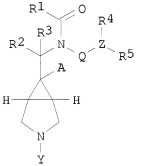
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

AU	200623191 7	A1	20061012	AU 2006-231917	20060327
CA	2603939	A1	20061012	CA 2006-2603939	20060327
EP	1869019	A1	20071226	EP 2006-727516	20060327
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP	2008534671	T	20080828	JP 2008-504868	20060327
JP	4193949	B2	20081210		
US	20060229455	A1	20061012	US 2006-399071	20060406 <--
NL	1031539	A1	20061010	NL 2006-1031539	20060407
NL	1031539	C2	20070410		
NO	2007004993	A	20071102	NO 2007-4993	20071003
IN	2007DN07656	A	20071109	IN 2007-DN7656	20071005
MX	200712463	A	20071107	MX 2007-12463	20071008
KR	2007120582	A	20071224	KR 2007-725888	20071107
CN	101189228	A	20080528	CN 2006-80019890	20071205

PRIORITY APPLN. INFO.: US 2005-669472P P 20050408
WO 2006-IB947 W 20060327

OTHER SOURCE(S): MARPAT 145:419146

GI



I

AB The title compds. I [R1 = (un)substituted imidazolyl, thiazolyl, pyridyl, etc.; R2, R3, A = H, (un)substituted alkyl; Q = (CH2)n (wherein n = 1-4), (CH2)mO (m = 2-4); Z = aryl, alkyl, cycloalkyl; R4, R5 = H, halo, alkyl, etc.; Y = H, aryl, alkyl, etc.] that exhibit activity as glycine transport inhibitors, were prepared E.g., a multi-step synthesis of 1-methyl-1H-imidazole-4-carboxylic acid (3-azabicyclo[3.1.0]hex-6-ylmethyl)-(3-trifluoromethoxybenzyl)amide

hydrochloride, starting from (3-azabicyclo[3.1.0]hex-6-yl)methanol.HCl, was given. Compds. I were found to have significant activity in inhibiting glycine reuptake in synaptosomes, having greater than 20% inhibition at 1 μM when tested using GlyT1 radioligand binding assay. The invention also relates to pharmaceutical comps. containing compds. I and their use for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:796760 HCAPLUS
DOCUMENT NUMBER: 145:230531
TITLE: Preparation of tartaric acid functional compounds for the treatment of inflammatory disorders mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α
INVENTOR(S): Siddiqui, M. Arshad; Mansoor, Umar Faruk; Reddy, Panduranga A.; Madison, Vincent S.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 523pp., Cont.-in-part of U.S. Ser. No. 142,601.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060178366	A1	20060810	US 2005-291595	20051201 <--
US 20060252778	A1	20061109	US 2005-142601	20050601 <--
US 20070167426	A1	20070719	US 2006-599784	20061115 <--
AU 2006320621	A1	20070607	AU 2006-320621	20061129
CA 2632922	A1	20070607	CA 2006-2632922	20061129
WO 2007064749	A1	20070607	WO 2006-US45773	20061129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1957058	A1	20080820	EP 2006-844652	20061129
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 2008007092	A	20080814	MX 2008-7092	20080602
KR 2008071200	A	20080801	KR 2008-715687	20080627
PRIORITY APPLN. INFO.:			US 2004-576153P	P 20040602
			US 2005-142601	A2 20050601

US 2005-291595 A2 20051201
WO 2006-US45773 W 20061129
OTHER SOURCE(S): MARPAT 145:230531

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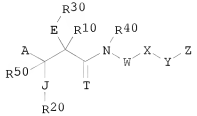

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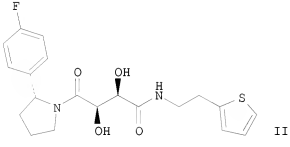


II

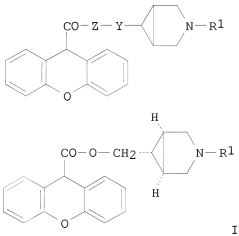
AB The title compds. I [A = (un)substituted benzimidazol-2-yl, imidazol-2-yl, CONH2, CSNH2, etc.; J, E = O, S, NR5 (wherein R5 = H, alkyl, alkylaryl); T = O, S; R10, R20 = H, alkyl, fluoroalkyl; R30 = H, alkyl or R30 and R40, taken together with N to which R40 is attached, are joined to form 4-7 membered (un)substituted heterocyclyl; R40, R50 = H, alkyl; W = [C(R13)2]n (wherein n = 0-5 or a bond; R13 = H, halo, OH, etc.); X = a bond, alkyl, cycloalkyl, etc.; Y = a bond, O, S, NH, etc.; Z = H, alkyl, aryl, etc.; or their pharmaceutically acceptable salts] which can be useful for the treatment of diseases or conditions mediated by MMPs, aggrecanase, ADMP, LpxC, ADAMs, TACE and TNF-α, were prepared E.g., a multi-step synthesis of II, starting from 2,2-dimethyl-[1,3]dioxolane-4R,5R-dicarboxylic acid monomethyl ester and 2-(thien-1-yl)ethylamine, was given. The compds. I were tested against LpxC and ADMP (biol. data given for representative compds. I).

L17 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:54428 HCAPLUS
DOCUMENT NUMBER: 144:150237
TITLE: Preparation of 9H-xanthene-9-carboxylic esters and related compounds as muscarinic receptor antagonists
INVENTOR(S): Mehta, Anita; Salman, Mohammad; Sarma, Pakala, Kumara, Savithru; Chugh, Anita; Gupta, Suman
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006005980	A1	20060119	WO 2004-IB2004	20040616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1765809	A1	20070328	EP 2004-743765	20040616
EP 1765809	B1	20081231		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK				
IN 2007DN00068	A	20070803	IN 2007-DN68	20070102
US 20080319002	A1	20081225	US 2008-570749	20080909 <--

PRIORITY APPLN. INFO.: WO 2004-IB2004 W 20040616
OTHER SOURCE(S): CASREACT 144:150237; MARPAT 144:150237
GI

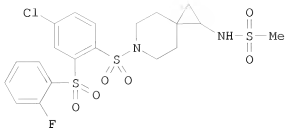


AB Title compds. I [Z = O, NRx; Rx = H, alkyl, aralkyl (sic); Y = (CH2)n; n = 0-4; R1 = H, alkyl, heteroaryl, etc.] and their pharmaceutically acceptable salts were prepared For example, CH2O/NaBCNH3 mediated reductive methylation of amine II (R1 = H) afforded claimed xanthene II(R1 = CH3). Compds. I are claimed to be muscarinic receptor antagonists (no data provided).
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:15012 HCAPLUS
DOCUMENT NUMBER: 144:108223
TITLE: Preparation of cannabinoid receptor ligands
INVENTOR(S): Shankar, Bandarpalle B.; Gilbert, Eric; Rizvi, Razia K.; Huang, Chunli; Kozlowski, Joseph A.; McCombie, Stuart; Shih, Neng-Yang
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006002133	A1	20060105	WO 2005-US21870	20050621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2571058	A1	20060105	CA 2005-2571058	20050621
US 20060100228	A1	20060511	US 2005-157510	20050621 <--
EP 1768667	A1	20070404	EP 2005-766578	20050621
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 101005838	A	20070725	CN 2005-80028468	20050621
JP 2008503585	T	20080207	JP 2007-518192	20050621
MX 2007000015	A	20070307	MX 2007-15	20061220
PRIORITY APPLN. INFO.:			US 2004-581837P P	20040622
			WO 2005-US21870 W	20050621

OTHER SOURCE(S): CASREACT 144:108223; MARPAT 144:108223
GI



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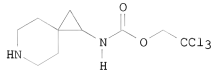

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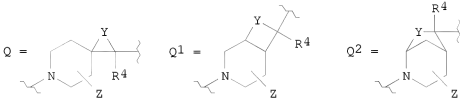

AB Compds. of formula R1-L1-A(Xm)-L2-B-N(R5)-L3-R6 [R1 = H, alkyl, CF3, etc.; R5, R6 = H, alkyl, haloalkyl, aryl, heterocycloalkyl, heteroaryl; A = Ph, naphthyl, pyridyl, thiazolyl, etc.; B = Q, Q1, Q2, etc., R4 = H, alkyl; Y = (C(R7)2)p, O(C(R7)2)q, S(O2)(C(R7)2)r, etc., R7 = H, alkyl, heteroaryl, cycloalkyl, etc., p = 1-3, q = 1, 2; Z = (R2)n, R2 = H, OH, halo, alkoxy, cycloalkyl, etc., n = 0-4; L1 = (C(R7)2)p, CO, SO, etc.; L2 = (C(R7)2)p, CO2, CF2, etc.; L3 = C(R7)2, CO, O, etc.] were prepared For example, spiro-piperidine I was prepared in several steps from amine II. These compds. can exhibit anti-inflammatory and immunomodulatory activity, and can be effective as CB2 receptor ligands in treating cancer and inflammatory, immunomodulatory or respiratory diseases or conditions.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1075634 HCAPLUS

DOCUMENT NUMBER: 143:373316

TITLE: Combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms

INVENTOR(S): Chugh, Anita; Tiwari, Atul

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092341	A1	20051006	WO 2004-IB842	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1746998	A1	20070131	EP 2004-722336	20040322
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK				
WO 2005092342	A1	20051006	WO 2004-IB866	20040323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2006DN06061	A	20070427	IN 2006-DN6061	20061017
IN 2006DN06389	A	20070831	IN 2006-DN6389	20061031
US 20080167317	A1	20080710	US 2008-593939	20080225 <--
PRIORITY APPLN. INFO.:			WO 2004-IB842 W	20040322
			WO 2004-IB866 W	20040323

AB This invention relates to combination therapy for the treatment of benign prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS) associated with or without BPH. The combination therapy comprises of 1α adrenergic receptor (AR) subtype selective antagonist in combination with muscarinic receptor antagonist and optionally included Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or without BPH.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:371221 HCAPLUS
DOCUMENT NUMBER: 142:430125
TITLE: A preparation of 3-azabicyclo[3.1.0]hexane derivatives, useful for the treatment of drug addiction, depression, and irritable bowel syndrome
INVENTOR(S): Coe, Jotham Wadsworth; Mchardy, Stanton Furst; Ragan, John Anthony; Tickner, Derek Lawrence; Vanderplas, Brian Clement
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037790	A1	20050428	WO 2004-IB3261	20041006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004281229	A1	20050428	AU 2004-281229	20041006
CA 2540860	A1	20050428	CA 2004-2540860	20041006
EP 1675829	A1	20060705	EP 2004-769571	20041006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1867548	A	20061122	CN 2004-80030530	20041006
BR 2004015459	A	20061219	BR 2004-15459	20041006
JP 2007508367	T	20070405	JP 2006-534849	20041006
US 20050113437	A1	20050526	US 2004-966712	20041015 <--
US 7129263	B2	20061031		
IN 2006DN01322	A	20070810	IN 2006-DN1322	20060310
KR 2006096038	A	20060905	KR 2006-707220	20060414
MX 2006004278	A	20060628	MX 2006-4278	20060417
NO 2006002152	A	20060512	NO 2006-2152	20060512

PRIORITY APPLN. INFO.: US 2003-511889P P 20031016
WO 2004-IB3261 W 20041006
OTHER SOURCE(S): CASREACT 142:430125; MARPAT 142:430125
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of 3-azabicyclo[3.1.0]hexane derivs. of formula I [wherein: X is halogen, OH, CN, 1 to 3 halogen substituted alkyl, or C(O)NH2, etc.; R1 and R2 with the carbon to which they are attached form 3- to 7-membered cycloalkyl or 4- to 7-membered heterocycloalkyl; R3 is alkyl; Y is (CH2)0-1], useful for the treatment of drug addiction, depression, eating disorder, and irritable bowel syndrome (no biol. data). For instance, 3-azabicyclo[3.1.0]hexane derivative II•MsOH was prepared via reductive amination of indanylmethanesulfonic acid derivative III with 3-azabicyclo[3.1.0]hexane derivative IV•TFA with a yield of 54%.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:369236 HCAPLUS
DOCUMENT NUMBER: 142:430124
TITLE: Preparation of 3-azabicyclo[3.1.0]hexane derivatives as glycine transporter inhibitors for enhancing cognition and treating psychoses
INVENTOR(S): Lowe, John A.; Mchardy, Stan
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037216	A2	20050428	WO 2004-US34083	20041014
WO 2005037216	A3	20050804		
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BR 2004015356	A	20061212	BR 2004-15356	20041014
JP 2007508374	T	20070405	JP 2006-535348	20041014
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KR 2006095865	A	20060904	KR 2006-707132	20060413
MX 2006004279	A	20060628	MX 2006-4279	20060417
NO 2006002193	A	20060515	NO 2006-2193	20060515

PRIORITY APPLN. INFO.: US 2003-510846P P 20031014
WO 2004-US34083 W 20041014

OTHER SOURCE(S): CASREACT 142:430124; MARPAT 142:430124
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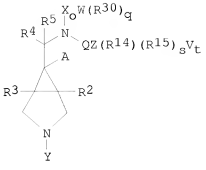

I

AB The present invention relates to substituted bicyclic [3.1.0]amines (shown as I; variables defined below; e.g. thiophene-2-carboxylic acid N-[(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide (II)), their pharmaceutically acceptable salts, pharmaceutical compns. thereof, and their use (no data) for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Compds. of the invention analyzed by an assay for their activity in inhibiting glycine reuptake in synaptosomes have IC50 values more potent than 10 μM; no values for individual examples of I are given. For I: y = H or (R100)k-R1-(R6)m; k = 0-1; l = 0-3; m = 1-3; n = 0-4; o = 0-1; p = 0-3; q = 0-4; r = 1-2; s = 0-4; t = 0-1; u = 1-3; v = 1-3; R100 is -CH2-, -CH(C1-C3)alkyl-, -C(O)- or -SO2-. R1 is -(C1-C6)alkyl, -(C3-C8)cycloalkyl, -(4 to 7 membered) heterocycloalkyl, -(CH2)l-(C6-C10 aryl) or -(5 to 10 membered) heteroaryl, or (5 to 10 membered) tetrahydroheteroaryl; each R6 = H, halo, -(C1-C6) alkyl-B, (C1-C7) alkoxy-D, (C2-C4)alkenoxy, (C1-C6)alkyl-OH, -OH, CN, -NO2, -CR7R8R9, -NR20R21, -NHCOalkyl(C1-C3), NHSO2alkyl(C1-C3), C(O)OR22, -R23C(O)OR22, -C(O)NH2, phenyl-E, phenoxy-F, morpholine, -NR20R21, aryl, heteroaryl, -SR24, and -SO2R25; B and D = H, OH, Ph, di-Ph or trifluoro; E and F = H, alkyl, or halo. R2 and R3 = H or (C1-C3)alkyl; R4 and R5 = H or (C1-C3) alkyl; or R4 and R5 taken together form a double bond to an O to form (C:O), or R4 and R5 are connected with 2 to 4 C atoms to form a 3-5 member carbocyclic ring; A is H or (C1-C3)alkyl-(R28)n; R28 = (C1-C3)alkoxy, -OH, -NR12R13 or -NHC(O)(C1-C4)alkyl; X is a bond, -CH2(R29)p, -C(O) or -SO2; R29 is -(C1-C3)alkyl; W is alkyl, -(C3-C6)cycloalkyl, -(3 to 7 membered) heterocycloalkyl, -(3 to 7 membered) heterocycloalkyl with 1 or 2 C:O groups, Ph, or -(5 to 7 member) heteroaryl or heterocyclic; R30 is -(C1-C4)alkyl, -(C1-C3)alkoxy, CN, -F, -Cl, -Br, -I, -NR18R19, -NHC(O)R18, -SCH3 or -C(O)CH3. Q is a bond, -CH(R31)r, -C(O) or SO2; R31 = H or (C1-C3)alkyl; Z is -(C1-C8)alkyl, -(C3-C8)cycloalkyl, -(4 to 8 member) heterocycloalkyl, Ph or -(5 to 7 membered) heteroaryl or heterocyclic; R14 is F, Cl, Br, I, V, H, -NR16R17, -OR16, -C(O)NR16R17, -(SO2)NR16R17, or NR32C:O-R33; R15 is -(C1-C3)alkyl, -(C1-C3)alkoxy, -F, -Br, -Cl, -I -OH or CN; V is -(C3-C8)cycloalkyl, -(C1-C5)alkyl, (5 to 7 membered) heterocycloalkyl, (5 to 7 membered)heterocycloalkyl substituted with 1 or 2 C:O groups or 1, 2, or 3-(C1-C5)alkyl groups; addnl. details are given in the claims. Although the methods of preparation are not claimed, 6 example prepns. are included. For example, II was prepared in 5 steps starting from (3-azabicyclo[3.1.0]hex-6-yl)methanol hydrochloride and involving 6-hydroxymethyl-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl]amino]methyl]-3-

azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl][(thien-2-yl)carbonyl]amino]methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester and thiophene-2-carboxylic acid N-[(3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide trifluoroacetate as intermediates.

L17 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:41201 HCAPLUS
DOCUMENT NUMBER: 140:111279
TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives useful as muscarinic receptor antagonists
INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt V.; Gupta, Jang Bahadur
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004629	A2	20040115	WO 2002-IB2663	20020708
WO 2004004629	A3	20040521		
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OTHER SOURCE(S): MARPAT 140:111279
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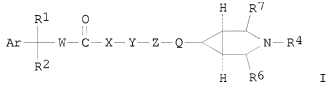

I

AB This invention generally relates to the derivs. of novel 3,6 disubstituted azabicyclo[3.1.0] hexanes. The title compds. [I; Ar = each (un)substituted aryl or heteroaryl having 1-2 hetero atoms selected from the group consisting of O, S and N atoms; R1 = H, HO, hydroxymethyl, amino, alkoxy, carbamoyl or halogen (e.g. F, Cl, Br, iodo); R2 = alkyl, C3-7 cycloalkyl, C3-7 cycloalkenyl, each (un)substituted aryl or heteroaryl having 1 to 2 hetero atoms selected from a group consisting of O, S and N atoms; W = (CH2)p (where p = 0, 1); X = O, S, N, no atom; Y = CHR5CO (wherein R5 = H, Me) or (CH2)q (wherein q = 0-4); Z = O, S, NR10 (wherein R10 = H, C1-6 alkyl); Q = (CH2)n (wherein n = 0-4), or CHR5 (wherein R5 = H, OH, C1-6 alkyl, alkenyl alkoxy) or CH2CHR9 (wherein R9 = H, OH, C1-4 alkyl, C1-C4 alkoxy); R6, R7 = CO2H, H, Me, CONH2, NH2, CH2NH2; R4 = (un)substituted C1-15 saturated or unsatd. aliphatic hydrocarbon groups], pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites thereof are prepared These compds., e.g. (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2,2-diphenylacetamide, (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclohexyl-2-phenylacetamide, (1α,5α,6α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclopentyl-2-phenylacetamide, (1α,5α,6α)-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl] 2-hydroxy-2,2-diphenylacetate, and are muscarinic receptor antagonists which are useful, inter-alia for the treatment or prophylaxis of various diseases or disorders of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. In particular, the diseases or disorders are urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive

pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity, and diabetes or gastrointestinal hyperkinesis.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:517227 HCAPLUS
DOCUMENT NUMBER: 119:117227
ORIGINAL REFERENCE NO.: 119:21087a,21090a
TITLE: Preparation of azabicycloalkylquinolones and -naphthyridinones as antibacterials
INVENTOR(S): Brighty, Katherine E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 551,212, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5164402	A	19921117	US 1991-650835	19910204 <--
US 5229396	A	19930720	US 1992-919477	19920724 <--
US 5266569	A	19931130	US 1993-12202	19930202 <--
US 5391763	A	19950221	US 1993-88999	19930826 <--
PRIORITY APPLN. INFO.:			US 1990-551212	B2 19900711
			US 1991-650835	A3 19910204
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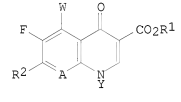

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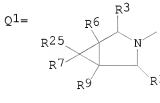

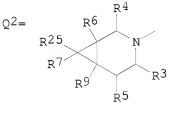

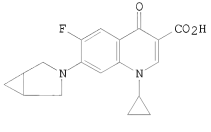

II

AB Title compds. [I; R1 = H, alkyl, pharmaceutically acceptable cation; Y = Et, Me3C, vinyl cyclopropyl, FCH2CH2, 4-FC6H4, 2,4-F2C6H34; W = F, Cl, Br, alkyl, alkoxy, (methyl)amino; A = CH, CCl, C(OMe), CMe, CCN, N; AY = atoms

to form a (0-or double bond-containing) (substituted) 5-6 membered ring; R2 = Q1, Q2; R3, R4, R5, R6, R7, R9 = H, Me, CH2NH2, CH2NHMe, CH2NHEt; R5, R6, R1, R9 may also = NH2, NHMe, NHEt; ≤3 of R3, R4, R6, R7, R9, R10, R25 ≠ H; if 3 of these ≠ H, ≥1 of them = Me], were prepared as antibacterials (no data). Thus, 3-azabicyclo[3.1.0]hexane hydrochloride was heated with 1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxoquinolinecarboxylic acid and Et3N in MgSO to give title compound II.

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	139.35	703.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-25.42	-25.42

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